

chain nodes :

7 8 9 10 11 15 16

ring nodes :

1 2 3 4 5 6

chain bonds :

2-8 5-7 8-9 9-10 9-15 10-11 15-16

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-2 1-6 2-3 2-8 3-4 4-5 5-6 5-7 9-10 10-11 15-16

exact bonds :

8-9 9-15

isolated ring systems :

containing 1 :

G1:O,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 15:CLASS 16:Atom

Generic attributes :

16:

Saturation : Unsaturated

10/773035

=> s 16

SAMPLE SEARCH INITIATED 15:34:05 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 730 TO ITERATE.

100.0% PROCESSED 730 ITERATIONS 12 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 12980 TO 16220  
PROJECTED ANSWERS: 33 TO 447

L7 12 SEA SSS SAM L6

=> s 16 sss full

FULL SEARCH INITIATED 15:34:22 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 14240 TO ITERATE

100.0% PROCESSED 14240 ITERATIONS 310 ANSWERS  
SEARCH TIME: 00.00.01

L8 310 SEA SSS FUL L6

=> save l8

ENTER NAME OR (END):ten773035/a  
ANSWER SET L8 HAS BEEN SAVED AS 'TEN773035/A'

=> file caplus

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	ENTRY	SESSION
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10/773035

<http://www.cas.org/infopolicy.html>

=> s 18

L9 19 L8

=> d 19 1-19 bib abs fhitr

L9 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:980850 CAPLUS

DN 143:440184

TI Synthesis and antibacterial activity of N-[2-(5-bromothiophen-2-yl)-2-oxoethyl] and N-[(2-5-bromothiophen-2-yl)-2-oximinoethyl] derivatives of piperazinyl quinolones

AU Foroumadi, Alireza; Emami, Saeed; Mehni, Massood; Moshafi, Mohammad Hassan; Shafiee, Abbas

CS Department of Medicinal Chemistry and Pharmaceutical Sciences Research Center, Tehran University of Medical Sciences, Tehran, 14174, Iran

SO Bioorganic & Medicinal Chemistry Letters (2005), 15(20), 4536-4539  
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier B.V.

DT Journal

LA English

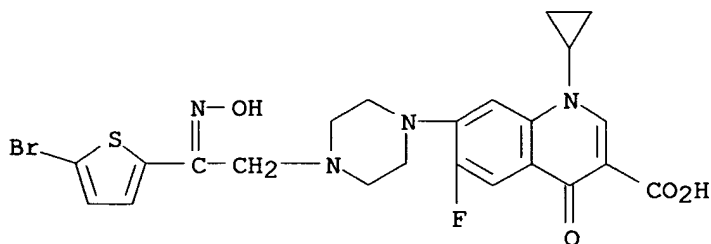
AB A series of N-[2-[5-(bromo)-2-thienyl]-2-(oxo)ethyl] and N-[2-[5-(bromo)thienyl]-2-(oximino)ethyl] derivs. of piperazinyl quinolones (i.e., ciprofloxacin, norfloxacin, and enoxacin) were synthesized and evaluated for antimicrobial activity against Gram-pos. and Gram-neg. microorganisms. Some of these derivs. exhibit comparable or better activity against Gram-pos. bacteria, Staphylococcus aureus, Staphylococcus epidermidis and Bacillus subtilis, than ciprofloxacin, norfloxacin and enoxacin as ref. drugs.

IT 868660-53-1P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. of [(bromothienyl)(oxo)ethyl] and [(bromothienyl)(oximino)ethyl] derivs. of ciprofloxacin, norfloxacin, and enoxacin; study and SAR of their activity as antibacterial agents)

RN 868660-53-1 CAPLUS

CN 3-Quinolonecarboxylic acid, 7-[4-[2-(5-bromo-2-thienyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

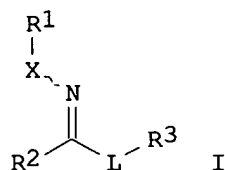
L9 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:735323 CAPLUS

10/773035

DN 143:194028  
TI Preparation of substituted piperazinyl oximes and hydrazones and related  
analogs as D4 receptor ligands useful in treating sexual dysfunction  
IN Kolasa, Teodzyj; Patel, Meena; Mortell, Kathleen H.; Matulenko, Mark A.;  
Hakeem, Ahmed A.; Bhatia, Pramila A.; Wang, Xueqing; Daanen, Jerome F.;  
Latshaw, Steven P.; Stewart, Andrew O.  
PA USA  
SO U.S. Pat. Appl. Publ., 66 pp. *App's*  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005176727	A1	20050811	US 2004-773035	20040205
PRAI	US 2004-773035		20040205		
OS	MARPAT 143:194028				
GI					



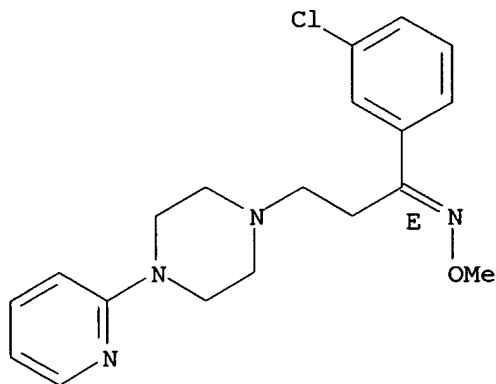
AB Title compds. I [X = O, (un)substituted amino; R1 = H, alkenyl, alkyl, alkoxyalkyl, etc.; R2 = aryl, arylalkyl, heteroaryl, etc.; R3 = piperidinyl, piperazinyl, etc.; L = alkylene, etc.] are prepd. For instance, (E)- and (Z)-1-(3-chlorophenyl)-3-(4-(pyridin-2-yl)piperazin-1-yl)propan-1-one O-methyloxime were prepd. in several steps from 3-chloroacetophenone, 1-(2-pyridinyl)piperazine, paraformaldehyde and O-methylhydroxylamine.bul.HCl. They were isolated and characterized as their maleate salts. Compds. of the invention exhibit EC50 in the range of 2 - 1800 nM for the D4 receptor. I are useful in the treatment of sexual dysfunction.

IT **861960-51-2P**  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of substituted piperazinyl oximes and hydrazones and related analogs as D4 receptor ligands useful in treating sexual dysfunction)

RN 861960-51-2 CAPLUS

CN 1-Propanone, 1-(3-chlorophenyl)-3-[4-(2-pyridinyl)-1-piperazinyl]-, O-methyloxime, (1E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

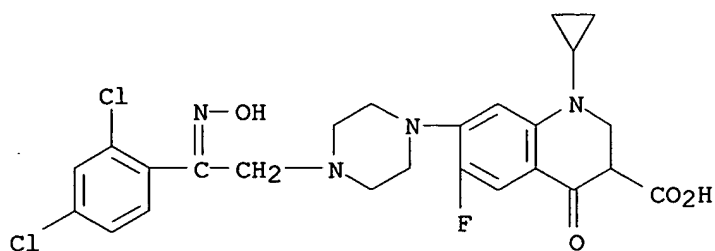


L9 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:6498 CAPLUS  
 DN 142:355237  
 TI Syntheses of novel fluoroquinolone compounds  
 AU Li, Jianyong; Lu, Runhua; Yang, Aimei; Zhang, Jiyu  
 CS Lanzhou Institute of Chemical Physics, Chinese Academy of Sciences,  
 Lanzhou, 730050, Peop. Rep. China  
 SO Heterocyclic Communications (2004), 10(6), 447-450  
 CODEN: HCOMEX; ISSN: 0793-0283  
 PB Freund Publishing House Ltd.  
 DT Journal  
 LA English  
 OS CASREACT 142:355237  
 AB A series of 1-substituted-6-fluoro-7-(1-(4-((Z)-2-(2-aminothiazol-4-yl)-2-methoxyiminoacetyl)piperazinyl))-1,4-dihydro-4-oxo-3-quinoline carboxylic acid was prepd. and evaluated for antibacterial activity. These compds. were prepd. by the combination of 1-substituted-6-fluoro-7-piperazinyl-1,4-dihydro-4-oxo-3-quinoline-carboxylic acid and S-2-benzo-thiazolyl-(Z)-2-(2-aminothiazol-4-yl)-2-methoxyimino acetate under the condition of Schotten-Baumann. The title compds. are confirmed with NMR,UV, IR, FAB-MS, et al.  
 IT **848861-61-0P**  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. and antibacterial activity of fluoroquinolone compds. from piperazinyl fluorodihydroquinolinonecarboxylic acid and benzothiazolyl aminothiazolyl methoxyiminothioacetate)  
 RN 848861-61-0 CAPLUS  
 CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

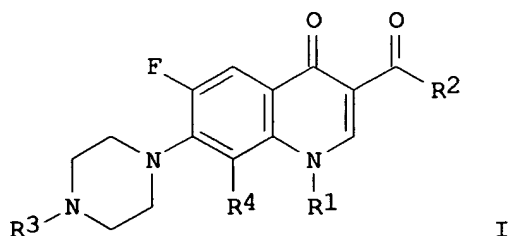
L9 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:83695 CAPLUS  
DN 141:314131  
TI Antituberculosis agents VII. Synthesis and in vitro evaluation of  
antimycobacterial activity and cytotoxicity of some N-piperazinyl  
quinolone derivatives  
AU Foroumadi, A.; Soltani, F.; Asadipour, A.  
CS Department of Medicinal Chemistry, Faculty of Pharmacy, Kerman University  
of Medical Sciences, Kerman, Iran  
SO Bollettino Chimico Farmaceutico (2003), 142(3), 130-134  
CODEN: BCFAAI; ISSN: 0006-6648  
PB Societa Editoriale Farmaceutica  
DT Journal  
LA English  
OS CASREACT 141:314131  
AB A series of N-[2-(2,4-dichlorophenyl)-2-oxoethyl] and N-[2-aryl-2-  
benzyloxyimino ethyl]piperazinyl quinolones have been prepd. as part of a  
study to examine the relationship between structural modification at  
7-position and activity against Mycobacterium tuberculosis. Primary  
screening was conducted at concn. of 6.25 .mu.g/mL against M tuberculosis  
H3-Rv using BACTEC 460 radiometric system and BACTEC 12B medium. The  
actual min. inhibitory concns. (MIC) were detd. for compds. demonstrating  
at least 90% inhibition in the primary screening. The results demonstrate  
that substitution of Ph ring with 4- fluoro, 2,4-difluoro and 2,4-  
dichloro groups resulted in variable inhibition percentage (Inh%=-7-101).  
Despite the significant antituberculosis activity of ciprofloxacin and  
norfloxacin derivs. contg. 2-(2,4-dichlorophenyl)-2-oxoethyl moiety  
(MIC=0.39&6.25 .mu.g/mL), compds. with 2-aryl-2-(hydroxyimino)ethyl,  
2-aryl-2-(benzyloxyimino)ethyl and 2-aryl-2-(4-chlorobenzyloxyimino)ethyl  
did not show any activity (MIC>6.25 .mu.g/mL, Inh%=-7 to 75). Active  
compds. were also screened by serial diln. to assess toxicity to a VERO  
cell line. While the most active compd. was not sol. in tissue culture  
media, another product showed IC50=5.3 .mu.g/mL.  
IT **769165-03-9P**  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL  
(Biological study); PREP (Preparation)  
(prepn. and vitro evaluation of antimycobacterial activity and  
cytotoxicity of N-piperazinyl quinolone derivs.)  
RN 769165-03-9 CAPLUS  
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-dichlorophenyl)-2-

(hydroxyimino)ethyl]-1-piperazinyl]-6-fluoro-1,2,3,4-tetrahydro-4-oxo-  
(9CI) (CA INDEX NAME)



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2003:661410 CAPLUS  
DN 140:16634  
TI Synthesis, and antimycobacterial and cytotoxic evaluation of certain  
fluoroquinolone derivatives  
AU Sheu, Jia-Yuh; Chen, Yeh-Long; Tzeng, Cherng-Chyi; Hsu, Shu-Lin; Fang,  
Kuo-Chang; Wang, Tai-Chi  
CS School of Medicinal and Applied Chemistry, College of Life Science,  
Kaohsiung Medical University, Kaohsiung City, Taiwan  
SO Helvetica Chimica Acta (2003), 86(7), 2481-2489  
CODEN: HCACAV; ISSN: 0018-019X  
PB Verlag Helvetica Chimica Acta  
DT Journal  
LA English  
OS CASREACT 140:16634  
GI



AB A series of 6-fluoro-7-piperazinyl-1,4-dihydroquinol-4-ones I (R1 = Et, 4-O2NC6H4, 4-H2NC6H4, 4-MeCONHC6H4, etc.; R2 = HO, EtO, H2N; R3 = H, Me, 4-MeOC6H4COCH2, etc.; R4 = H, F) was synthesized and evaluated for antimycobacterial and cytotoxic activities. Preliminary results indicated that 1-aryl-6-fluoroquinolones I [R1 = 4-amino-2-fluorophenyl; R2 = HO; R3 = H, Me; R4 = H; (II)] are able to completely inhibit the growth of M. tuberculosis at a concn. of 6.25 .mu.g/mL, while I (R1 = 4-amino-2-fluorophenyl; R2 = HO; R3 = 4-MeOC6H4COCH2; R4 = H) exhibits only 31% growth inhibition at the same concn. For 1-ethyl-6-fluoroquinolones, I [R1 = Et; R2 = HO; R3 = MeCOCH2, PhCOCH2; R4 = F; (III)] both showed complete inhibition, while their 2-iminoethyl and

substituted Ph counterparts were less active. These results deserve full attention esp. because II and III are non-cytotoxic at a concn. of 100 .mu.M. Furthermore, I (R1 = 4-amino-2-fluorophenyl; R2 = HO; R3 = R4 = H) proved to be a potent antituberculosis agent with selective index (SI) > 40 and an EC90 value of 5.75 .mu.g/mL.

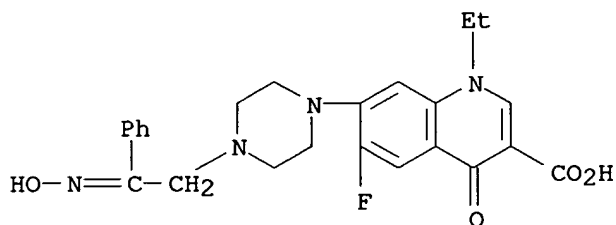
IT 202925-30-2

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)

(prepn. and antimycobacterial, antituberculosis, cytotoxic and anticancer evaluation of fluoro(piperazinyl)dihydroquinolones)

RN 202925-30-2 CAPLUS

CN 3-Quinolonecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:394974 CAPLUS

DN 139:347942

TI Antituberculosis agents IV: in vitro antimycobacterial activity and cytotoxicity of N-piperazinyl quinolone derivatives containing 2-thienyl and 2-furyl moiety

AU Foroumadi, A.; Soltani, F.; Mirzaei, M.

CS Medicinal Chemistry Department, Faculty of Pharmacy, Kerman University of Medical Sciences, Kerman, Iran

SO Pharmazie (2003), 58(5), 347-348

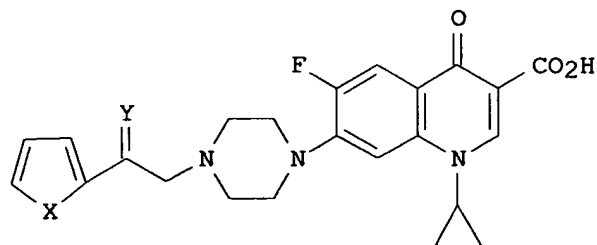
CODEN: PHARAT; ISSN: 0031-7144

PB Govi-Verlag Pharmazeutischer Verlag GmbH

DT Journal

LA English

GI



I X=Y=O

II X=S, Y=O

III X=S, Y=NOMe

AB A series of N-[2-(2-furyl)-2-oxoethyl], N-[2-(2-furyl)-2-oxyiminoethyl],



N-[2-oxo-2-(2-thienyl)ethyl] and N-[2-hydroxyimino-2-(2-thienyl)ethyl] piperazinyl quinolones were evaluated for antituberculosis activity against *Mycobacterium tuberculosis* H37Rb using the BACTEC 460 radiometric system and BACTEC 12B medium. Compds. I, II, and III were efficient antimycobacterial agents, showing MIC values ranging from 0.78 to 6.25  $\mu\text{g/mL}$ . In general, ciprofloxacin derivs. were more active than norfloxacin derivs. and the oxime analogs were less active than corresponding ketones. I, II, and III were also screened by serial diln. to assess toxicity to VERO cell line. The cytotoxicity of tested compds. indicated that I was the less toxic compd. ( $\text{IC}_{50} > 62.5 \mu\text{g/mL}$ ). This compd. was tested for efficacy in vitro in TB-infected macrophage model ( $\text{EC}_{90} = 3.25 \mu\text{g/mL}$ ).

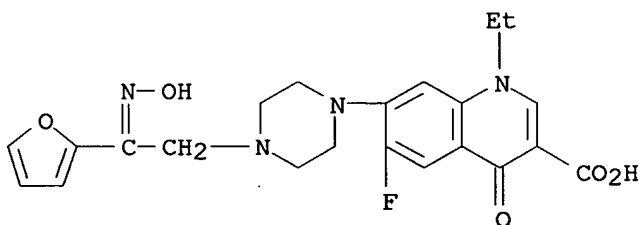
IT 255916-15-5

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(in vitro antimycobacterial activity and cytotoxicity of piperazinyl quinolone derivs. contg. thienyl and furyl moieties)

RN 255916-15-5 CAPLUS

CN 3-Quinolonecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(2-furanyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:703049 CAPLUS

DN 137:382145

TI Antituberculosis agents III. In vitro evaluation of antimycobacterial activity and cytotoxicity of some N-piperazinyl quinolone derivatives

AU Foroumadi, A.; Soltani, F.; Emami, S.; Davood, A.

CS Department of Medicinal Chemistry, Faculty of Pharmacy, Kerman University of Medical Sciences, Kerman, Iran

SO Bollettino Chimico Farmaceutico (2002), 141(3), 247-249

CODEN: BCFAAI; ISSN: 0006-6648

PB Societa Editoriale Farmaceutica

DT Journal

LA English

AB A series of N-[2-oxo-2-(4-substituted phenyl)ethyl]piperazinyl quinolones (1a-e, 2a-e and 3a-e) and N-[2-hydroxyimino-2-(4-substituted phenyl)ethyl]piperazinyl quinolones (1f-j, 2f-j and 3d-f) were evaluated for antituberculosis activity against *Mycobacterium tuberculosis* H33R, using the BACTEC 460 radiometric system and BACTEC 12B medium. Active compds. were also screened by serial diln. to assess toxicity to a VERO cell line. 9 Compds. were efficient antimycobacterial agents showing MIC values ranging from 0.78 to 6.25  $\mu\text{g/mL}$ . Generally, ciprofloxacin derivs. were more active than norfloxacin and enoxacin derivs. and the oxime analogs

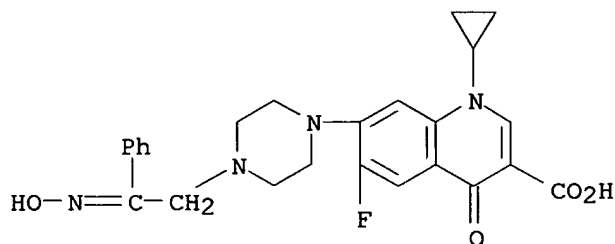
were less active than corresponding ketones. The most selective and less toxic compd. 1a was tested for efficacy in vitro in TB-infected macrophage model (EC90 = 3.68 .mu.g/mL, EC99 = 9.18 .mu.g/mL).

IT 202925-35-7

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(in vitro antimycobacterial activity and cytotoxicity of N-piperazinyl quinolone derivs.)

RN 202925-35-7 CAPLUS

CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-(2-(hydroxyimino)-2-phenylethyl)-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:392235 CAPLUS

DN 136:401781

TI Preparation of 6-fluoro-1,4-dihydro-7-[4-(2-hydroxyiminoethyl)-1-piperazinyl]-4-oxoquinoline-3-carboxylic acid derivatives as antibacterial and anticancer agents

IN Tzeng, Cherng-Chyi; Chen, Yeh-Long; Ko, Feng-Nien

PA Pharmaceutical Industry Technology, Taiwan

SO U.S. Pat. Appl. Publ., 9 pp., Division of U.S. Ser. No. 489,058, abandoned.

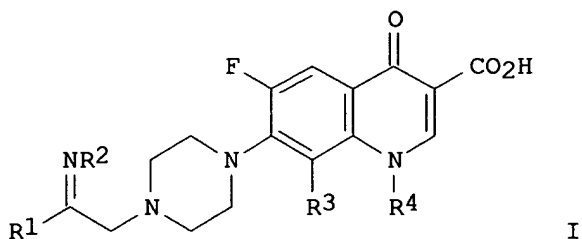
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002061895	A1	20020523	US 2001-2733	20011115
	US 6492373	B2	20021210		
	TW 584632	B	20040421	TW 2000-89100389	20000112
PRAI	TW 2000-89100389	A	20000112		
	US 2000-489058	B3	20000121		
OS	MARPAT 136:401781				
GI					



AB The title compds. [I; R1 = alkyl, (un)substituted Ph; R2 = OH, alkoxy, NH2, alkyl, CH2Ph; R3 = H, halo; R4 = alkyl, (un)substituted Ph], useful for the treatment of bacterial infections and/or renal cancer diseases, were prepd. Thus, treatment of 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-[4-(2-oxopropyl)-1-piperazinyl]quinoline-3-carboxylic acid with hydrazine in MeOH afforded 83% I [R1 = Me; R2 = NH2; R3 = H; R4 = Et]. The compds. I were tested for antibacterial and anticancer activity, and data were given.

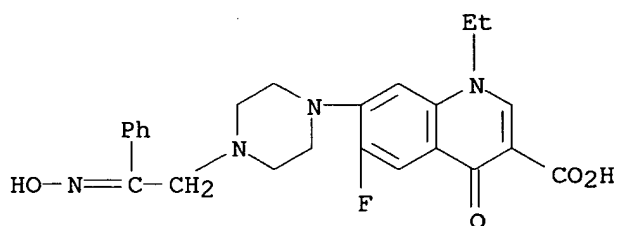
IT **202925-30-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 6-fluoro-1,4-dihydro-7-[4-(2-hydroxyiminoethyl)-1-piperazinyl]-4-oxoquinoline-3-carboxylic acid derivs. as antibacterial and anticancer agents)

RN 202925-30-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



L9 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:217203 CAPLUS

DN 137:352876

TI Synthesis and antibacterial activity of some novel N-substituted piperazinyl-quinolones

AU Foroumadi, A.; Davood, A.; Mirzaei, M.; Emami, S.; Moshafi, M. H.

CS Department of Medicinal chemistry, Faculty of Pharmacy, Kerman University of Medical Sciences, Kerman, Iran

SO Bollettino Chimico Farmaceutico (2001), 140(6), 411-416

CODEN: BCFAAI; ISSN: 0006-6648

PB Societa Editoriale Farmaceutica

DT Journal

LA English

OS CASREACT 137:352876

AB A series of N-substituted-piperazinyl-quinolones were synthesized and

evaluated for in vitro antibacterial activity. Compds. with a 2-(2,4-dichlorophenyl)-2-oxoethyl group attached to the piperazine ring had similar antibacterial activity to the ref. drugs, ciprofloxacin, norfloxacin and enoxacin against both Gram-pos. and Gram-neg. bacteria. Some of the oximes derivs. were almost less active than corresponding ketones against the tested microorganisms, however the 2,4-difluorophenyl analogs were more active than 2,4-dichlorophenyl derivs. If the hydrogen of oxime is replaced with a benzyl group, in-vitro antibacterial activity was decreased against both Gram-pos. and Gram-neg. bacteria. Generally ciprofloxacin derivs. were more active than norfloxacin and enoxacin derivs.

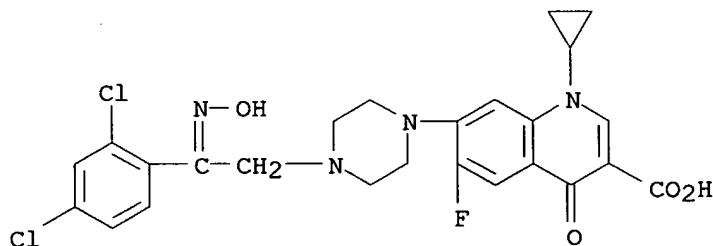
IT 474973-77-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antibacterial activity of N-substituted piperazinyl-quinolones)

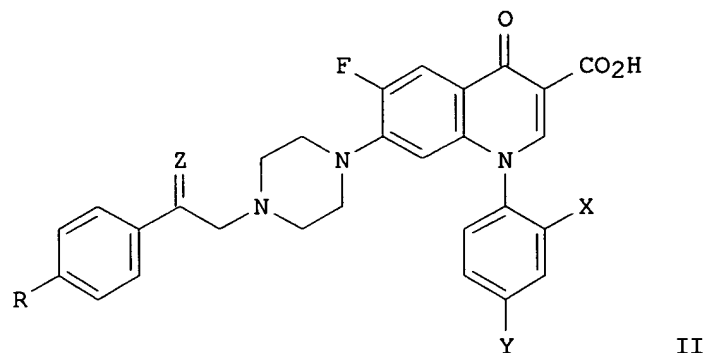
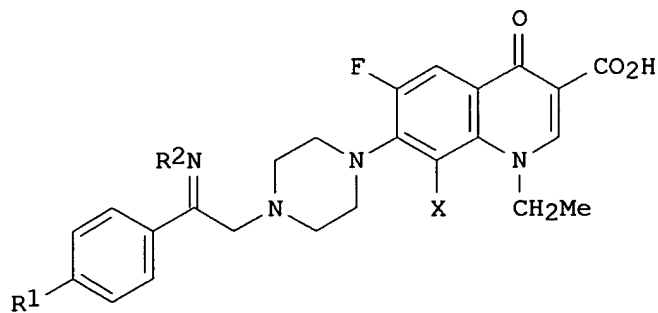
RN 474973-77-8 CAPLUS

CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-dichlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2001:412636 CAPLUS  
DN 135:166770  
TI Synthesis and antibacterial evaluation of certain quinolone derivatives  
AU Chen, Yeh-Long; Fang, Kuo-Chang; Sheu, Jia-Yuh; Hsu, Shu-Lin; Tzeng, Cherng-Chyi  
CS School of Chemistry, Kaohsiung Medical University, Kaohsiung City, 807, Taiwan  
SO Journal of Medicinal Chemistry (2001), 44(14), 2374-2377  
CODEN: JMCMAR; ISSN: 0022-2623  
PB American Chemical Society  
DT Journal  
LA English  
OS CASREACT 135:166770  
GI



AB A no. of 7-substituted quinolone derivs., e.g., I (R1 = H, F, Cl, MeO, R2 = OH, NHCONH2, NHCSNH2, NH2, X = F, H) and II (R = F, MeO, X = H, F, Y = NO2, NH2, Z = O, NOH), were synthesized and evaluated for antibacterial and cytotoxic activities. Preliminary results indicated that most compds. tested in this study demonstrated better activity against methicillin-resistant *Staphylococcus aureus* than norfloxacin. Among them, 1-(4-amino-2-fluorophenyl)-6-fluoro-1,4-dihydro-7-[4-[2-(4-methoxyphenyl)-2-hydroxyiminoethyl]-1-piperazinyl]-4-oxo-3-quinolinecarboxylic acid II (R = MeO, Z = F, Y = NH2, Z = NOH) (III) and its ketone precursor II (X = O) (IV) exhibited significant activities against *Klebsiella pneumoniae*, methicillin-resistant *S. aureus*, erythromycin- and ampicillin-resistant *Streptococcus pneumoniae*, and vancomycin-resistant *Enterococcus faecalis*. Due to strong cytotoxicities of III (a mean log GI50 of -5.40), compd. IV, with good antibacterial activities and low cytotoxicities (a mean log GI50 of -4.67), is a more potential drug candidate.

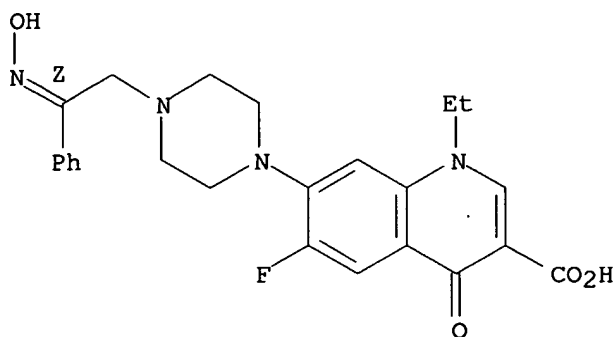
IT **307543-36-8**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(prepn., cytotoxicity, antibacterial, and antitumor activity of piperazinyl quinolones)

RN 307543-36-8 CAPLUS

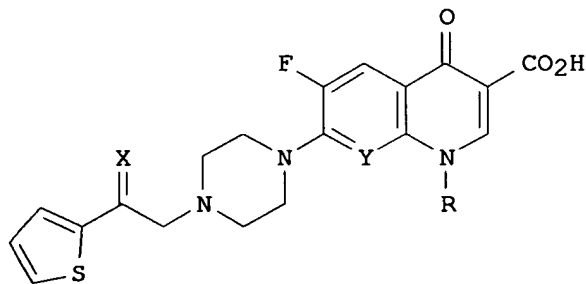
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[(2Z)-2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2000:665188 CAPLUS  
DN 133:309831  
TI Synthesis and in-vitro antibacterial activity of N-piperazinyl quinolone derivatives with a 2-thienyl group  
AU Mirzaei, M.; Foroumadi, A.  
CS The Research Centre of Kerman University of Medical Sciences, Kerman, Iran  
SO Pharmacy and Pharmacology Communications (2000), 6(8), 351-354  
CODEN: PPCOFN; ISSN: 1460-8081  
PB Royal Pharmaceutical Society of Great Britain  
DT Journal  
LA English  
OS CASREACT 133:309831  
GI



I

AB N-substituted piperazinylquinolones I (X = O, HON, MeON, PhCH<sub>2</sub>ON; Y = HC, N; R = Et, cyclopropyl) were prepd. by the reaction of piperazinylquinolones with .alpha.-bromo-2-acetylthiophene or .alpha.-bromo-2-acetylthiophene oximes and evaluated for in-vitro antibacterial activity. Ketones I (X = O) had antibacterial activity against Gram-pos. and Gram-neg. bacteria similar to that of ref. drugs, ciprofloxacin, norfloxacin and enoxacin. Oximes I (X = HON) were almost as potent as the corresponding ketones against staphylococci but less active against Gram-neg. bacteria. Replacement of the hydrogen of the oxime with a Me group resulted in greater antibacterial activity against both Gram-pos. and Gram-neg. bacteria, with min. inhibitory concns. (MIC)

ranging from 0.0075 to 0.5  $\mu\text{g mL}^{-1}$ ; this potency was greater than that of the ref. compds. Replacement of the hydrogen of the oxime with  $\text{PhCH}_2$  group reduced antibacterial activity against Gram-pos. and Gram-neg. bacteria.

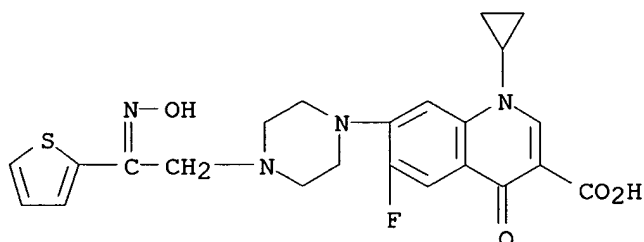
IT 301827-25-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(bactericidal activity of [(thienyloxoethyl)piperazinyl]quinolones and their oximes prepd. via alkylation of piperazinylquinolones with (bromoacetyl)thiophene)

RN 301827-25-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(2-thienyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:646381 CAPLUS

DN 133:362748

TI Synthesis, Antibacterial, and Cytotoxic Evaluation of Certain 7-Substituted Norfloxacin Derivatives

AU Fang, Kuo-Chang; Chen, Yeh-Long; Sheu, Jia-Yuh; Wang, Tai-Chi; Tzeng, Cherng-Chyi

CS School of Chemistry, Kaohsiung Medical University, Kaohsiung City, 807, Taiwan

SO Journal of Medicinal Chemistry (2000), 43(20), 3809-3812  
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 133:362748

AB The synthesis and biol. evaluation of two series of 7-substituted norfloxacin derivs. were reported. Most compds. tested in this study demonstrated better activity against methicillin-resistant *Staphylococcus aureus* than norfloxacin. Preliminary in vitro evaluation indicated that 7-[4-(2-hydroxyiminoethyl)-1-piperazinyl] derivs. possess distinct cytotoxicity profiles as compared with their  $\alpha$ -methylene- $\gamma$ -butyrolactone counterparts, i.e., excellent activities against the renal cancer subpanel. Among them, 1-ethyl-6-fluoro-7-[4-[2-(4-chlorophenyl)-2-hydroxyiminoethyl]-1-piperazinyl]-4-oxo-1,4-dihydro-3-quinolinecarboxylic acid demonstrated the most significant activities against renal cancer cell lines, with log GI50 values of -6.40 against CAK-1, -6.14 against RXF 393, and -7.54 against UO-31, compared with a mean log GI50 value of

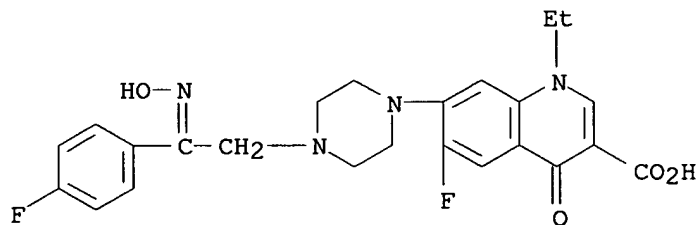
-5.03.

IT 202925-32-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and antibacterial and cytotoxic activity of 7-substituted norfloxacin derivs.)

RN 202925-32-4 CAPLUS

CN 3-Quinolonecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:763349 CAPLUS

DN 132:107933

TI Synthesis and in vitro antibacterial activity of new N-substituted piperazinyl quinolones

AU Foroumadi, A.; Emami, S.; Haghighat, P.; Moshafi, M. H.

CS The Research Center of Kerman University of Medical Sciences, Kerman, Iran

SO Pharmacy and Pharmacology Communications (1999), 5(10), 591-594

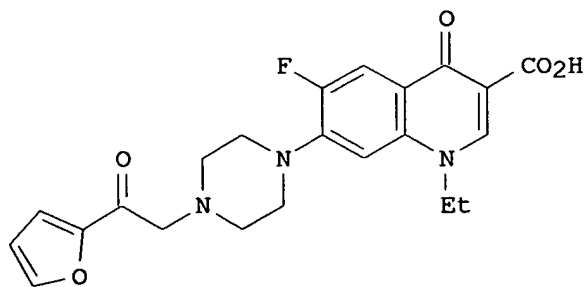
CODEN: PPCOFN; ISSN: 1460-8081

PB Royal Pharmaceutical Society of Great Britain

DT Journal

LA English

GI



I

AB A series of N-[2-(2-furyl)-2-oxoethyl], N-[2-hydroxyimino-2-(2-furyl)ethyl], N-[2-(2-furyl)-2-methoxyiminoethyl] and N-[2-(2-furyl)-2-phenylmethoxyiminoethyl] piperazinyl quinolones were synthesized and evaluated for in-vitro antibacterial activity. Compds. with a



2-(2-furyl)-2-oxoethyl group attached to the piperazine ring, e.g. I, had similar antibacterial activity to the ref. drugs, norfloxacin and ciprofloxacin, against staphylococci, but significantly decreased activity against Gram-neg. bacteria. If the hydrogen of oxime was replaced with a Me or benzyl group, in-vitro antibacterial activity decreased against Gram-neg. bacteria, but activity was similar against staphylococci. Generally, ciprofloxacin derivs. were more active than norfloxacin derivs.

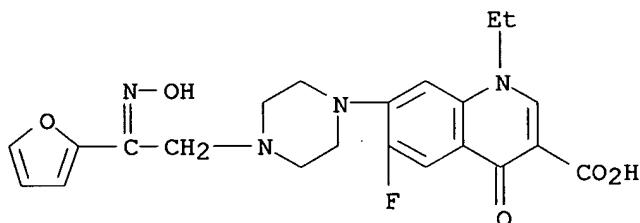
IT 255916-15-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antibacterial activity of N-substituted piperazinyl quinolones)

RN 255916-15-5 CAPLUS

CN 3-Quinolonecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(2-furanyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:176950 CAPLUS

DN 130:223299

TI Preparation of 5-piperazinotetrahydroquinolines and analogs as 5-HT1 receptor agonists

IN Feenstra, R. W.; Visser, G. M.; Kruse, C. G.; Tulp, M. T. M.; Long, S. K.

PA Duphar International Research B.V, Neth.

SO Eur. Pat. Appl., 26 pp.

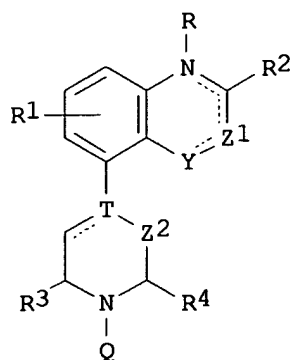
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 900792	A1	19990310	EP 1998-202832	19980824
	EP 900792	B1	20031029		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AT 253058	E	20031115	AT 1998-202832	19980824
	CA 2246126	AA	19990302	CA 1998-2246126	19980828
	JP 11147871	A2	19990602	JP 1998-259105	19980831
	US 6214829	B1	20010410	US 1998-144076	19980831
PRAI	EP 1997-202704	A	19970902		
OS	MARPAT 130:223299				
GI					



I

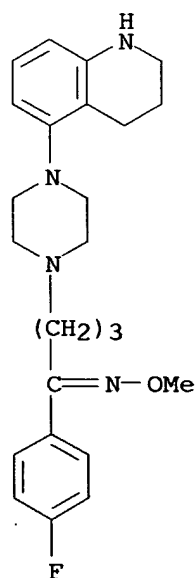
AB Title compds. [I; Q = CH<sub>2</sub>CR<sub>5</sub>R<sub>6</sub>ZR<sub>7</sub>; R, R<sub>3</sub>, R<sub>4</sub> = H or alkyl; R<sub>1</sub> = H or F; R<sub>2</sub> = H, alkyl, oxo (sic); RR<sub>2</sub> = bond; R<sub>5</sub>, R<sub>6</sub> = H, alkyl, alkylphenyl; R<sub>7</sub> = cyclic group (sic), (hetero)aryl, adamantyl, etc.; T = N or C (sic); Y = C, O, N, or S (sic); Z = CH<sub>2</sub>O, CH<sub>2</sub>CO, NHCO, etc.; Z<sub>1</sub> = (CR'')<sub>p</sub>; R'' = H or alkyl; Z<sub>2</sub> = (CH<sub>2</sub>)<sub>n</sub>; n = 1 or 2; p = 0-2; dashed lines = optional bond(s)] were prepd. Thus, 5-(1-piperazinyl)-1,2,3,4-tetrahydroquinoline was alkylated by Cl(CH<sub>2</sub>)<sub>3</sub>COC<sub>6</sub>H<sub>4</sub>F-4 to give I [Q = (CH<sub>2</sub>)<sub>3</sub>COC<sub>6</sub>H<sub>4</sub>F-4; R-R<sub>4</sub> = H, T = N, Y = Z<sub>1</sub> = Z<sub>2</sub> = CH<sub>2</sub>, dashed lines = null]. Data for biol. activity of I were given.

IT **221193-69-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 5-piperazinotetrahydroquinolines and analogs as 5-HT<sub>1</sub> receptor agonists)

RN 221193-69-7 CAPLUS

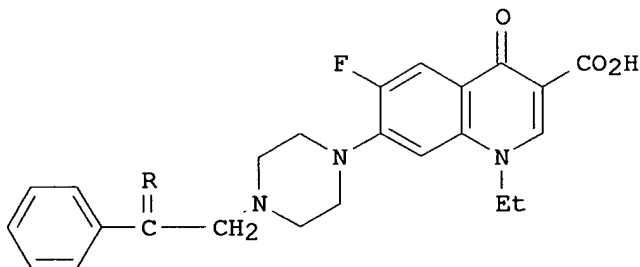
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(1,2,3,4-tetrahydro-5-quinolinyl)-1-piperazinyl]-, O-methyloxime, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl


RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 1998:34171 CAPLUS  
DN 128:164860  
TI Synthesis and in vitro antibacterial activities of N-substituted  
piperazinyl quinolones  
AU Foroumadi, A.; Emami, S.; Davood, A.; Moshafi, M. H.; Sharifian, A.;  
Tabatabaie, M.; Farimani, H. Tarhimi; Sepehri, G.; Shafiee, A.  
CS The Research Center of The Medical Sciences University of Kerman, Kerman,  
Iran  
SO Pharmaceutical Sciences (1997), 3(12), 559-563  
CODEN: PHSCFB; ISSN: 1356-6881  
PB Royal Pharmaceutical Society of Great Britain  
DT Journal  
LA English  
GI



I R=O

II R=NOH

III R=NOCH<sub>2</sub>-

AB A series of N-substituted piperazinyl quinolones (e.g. I, II, and III) were prepd. and evaluated for in-vitro antibacterial activity. Compds. having phenacyl group attached to the piperazine ring were as potent as norfloxacin, ciprofloxacin and enoxacin. The oximes were almost as potent as the corresponding ketones against staphylococci but less active against Gram-neg. bacteria. Some oximes were found to be more active than norfloxacin and enoxacin against Gram-pos. organisms. In general, the O-benzyloxime derivs. had lower antibacterial activity than ref. compds. However, compds. having a 4-nitro group in the benzyl moiety of O-benzyloxime derivs. had antistaphylococcal activity greater than norfloxacin, ciprofloxacin and enoxacin. Generally, ciprofloxacin derivs. were more active than norfloxacin or enoxacin derivs.

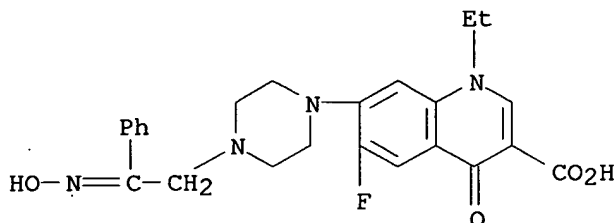
IT **202925-30-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and in vitro antibacterial activities of N-substituted piperazinyl quinolones)

RN 202925-30-2 CAPLUS

CN 3-Quinolonecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:842602 CAPLUS

DN 123:256767

TI Preparation of 4-[4-(2-Thiophenyl)-4-(hydroxyimino)butyl]piperazine derivatives as .alpha.-1-adrenergic receptor blockers

IN Kawashima, Yutaka; Ota, Tomomi; Taguchi, Minoru; Horiguchi, Akiyo; Hatayama, Katsuo

PA Taisho Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 19 pp.

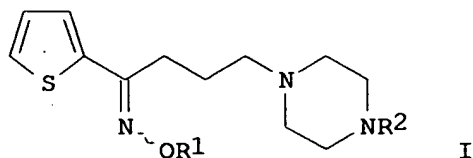
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9519357	A1	19950720	WO 1995-JP17	19950111
	W: AU, CA, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 07242656	A2	19950919	JP 1994-315122	19941219
	AU 9514245	A1	19950801	AU 1995-14245	19950111
PRAI	JP 1994-1701	A	19940112		
	WO 1995-JP17	W	19950111		
OS	MARPAT 123:256767				
GI					



AB The title compds. [I; R1, R2 = H, alkyl; R2 = (un)substituted Ph or 2-pyridyl], which have an excellent effect of ameliorating urination disorder by blocking .alpha.-1-adrenergic receptors and show reduced side

effects such as hypotensive effect, are prepd. Thus, 1.5 g 2-(4-chlorobutyl)thiophene and 1.82 g N-2,3-dimethylphenylpiperazine were dissolved in 30 mL toluene, followed by adding 3.32 mL Et<sub>3</sub>N, and the resulting mixt. was refluxed for 15 h to give, after silica gel chromatog., 1.14 g 4-[4-(2,3-dimethylphenyl)piperazinyl]-1-(2-thienyl)-1-butanone, which (1.13 g) was condensed with hydroxylamine hydrochloride in the presence of AcONa in refluxing EtOH to give, after silica gel chromatog., 440 and 240 mg of geometric isomers of oxime I (R<sub>1</sub> = H, R<sub>2</sub> = 2,3-dimethylphenyl) having lower and higher polarity, resp. A geometric isomer of oxime I.HCl (R<sub>1</sub> = Me, R<sub>2</sub> = 2-methoxyphenyl) having higher polarity in vitro showed IC<sub>50</sub> value of 2.7 nM for inhibiting the binding of [3H]prazosin to .alpha.-1-adrenergic receptor prepn. from a rat brain vs. 741 nM for urapidil.

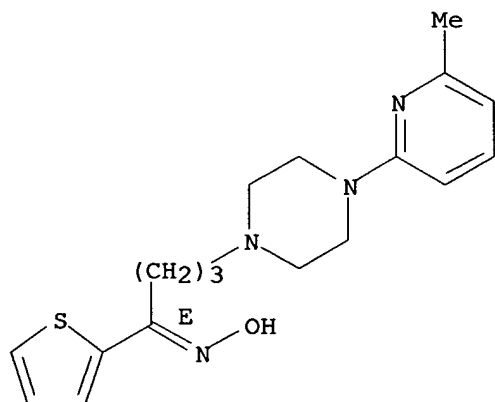
IT **168689-02-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of N-[thiophenyl(hydroxyimino)butyl]piperazine derivs. as .alpha.-1-adrenergic receptor blockers for treatment of urination disorder)

RN 168689-02-9 CAPLUS

CN 1-Butanone, 4-[4-(6-methyl-2-pyridinyl)-1-piperazinyl]-1-(2-thienyl)-, oxime, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L9 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1993:6946 CAPLUS

DN 118:6946

TI Synthesis and biological characterization of .alpha.-(4-fluorophenyl)-4-(5-fluoro-2-pyrimidinyl)-1-piperazinebutanol and analogs as potential atypical antipsychotic agents

AU Yevich, Joseph P.; New, James S.; Lobeck, Walter G.; Dextraze, Pierre; Bernstein, Edith; Taylor, Duncan P.; Yocca, Frank D.; Eison, Michael S.; Temple, Davis L., Jr.

CS Pharm. Res. Inst., Bristol-Myers Squibb Co., Wallingford, CT, 06492, USA

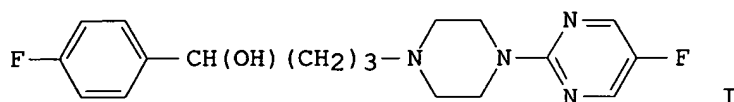
SO Journal of Medicinal Chemistry (1992), 35(24), 4516-25

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

GI



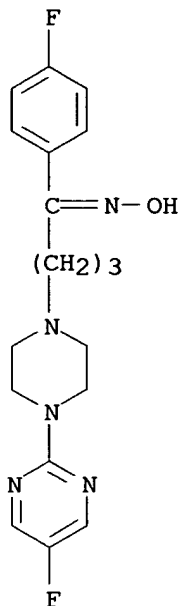
AB A series of 1-(pyrimidin-2-yl)piperazine derivs. was prepd. and evaluated in receptor binding assays and in in vivo behavioral paradigms as potential atypical antipsychotic agents. The title compd.(I) [DMS 181100 (formerly MBY 14802)] emerged as the lead compd. from within the series on the basis of its good activity and duration of action in the inhibition of both conditioned avoidance responding and apomorphine-induced stereotypy in the rat. Compd. I not only failed to induce catalepsy in the rat but was quite effective in reversing the cataleptic effect of neuroleptic agents, thus indicating a low propensity for causing extrapyramidal side effects. In comparison to ref. antipsychotic agents, I appeared to be less sedating and was relatively weaker in causing loss of muscle coordination. The compd. was essentially inactive in binding to dopamine D2 receptors and its chronic administration to rats did not result in dopamine receptor supersensitivity. It exhibited modest to weak affinity for 5-HT<sub>1a</sub> and α<sub>1</sub> receptors but was found to be a fairly potent ligand for .sigma. binding sites. Although the resolved enantiomers of racemic I did not show dramatic differences from racemate or from each other in most tests, the R-(+) enantiomer was up to 11-fold more potent than its antipode in binding to .sigma. sites. Several studies have indicated that I may be a limbic-selective agent which may modulate dopaminergic activity by an indirect mechanism. The compd. has been selected for clin. evaluation in the treatment of psychosis.

IT **144317-95-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (prepn., hydrogenation, and antipsychotic activity of)

RN 144317-95-3 CAPLUS

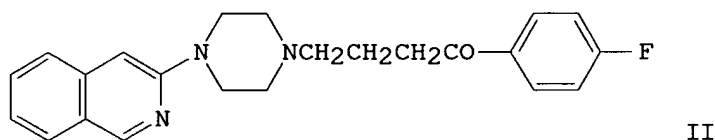
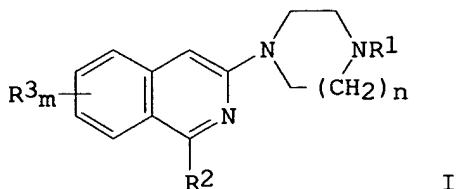
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-, oxime (9CI) (CA INDEX NAME)



L9 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1982:423646 CAPLUS  
 DN 97:23646  
 TI Isoquinoline derivatives, pharmaceutical compositions containing them and their use  
 IN Knoz, Elmar; Hock, Franz; Kaiser, Joachim; Kruse, Hansjoerg  
 PA Hoechst A.-G. , Fed. Rep. Ger.  
 SO Eur. Pat. Appl., 27 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 47923	A1	19820324	EP 1981-106884	19810903
	EP 47923	B1	19840509		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	DE 3034001	A1	19820422	DE 1980-3034001	19800910
	AT 7389	E	19840515	AT 1981-106884	19810903
	ES 505191	A1	19820816	ES 1981-505191	19810904
	JP 57080372	A2	19820519	JP 1981-139850	19810907
	FI 8102783	A	19820311	FI 1981-2783	19810908
	FI 71734	B	19861031		
	FI 71734	C	19870209		
	IL 63765	A1	19850531	IL 1981-63765	19810908
	DK 8104006	A	19820311	DK 1981-4006	19810909
	NO 8103066	A	19820311	NO 1981-3066	19810909
	AU 8175091	A1	19820318	AU 1981-75091	19810909
	AU 541976	B2	19850131		
	ZA 8106237	A	19820825	ZA 1981-6237	19810909
	HU 31176	O	19840428	HU 1981-2595	19810909
	HU 187357	B	19851228		
	CA 1168232	A1	19840529	CA 1981-385547	19810909

	ES 506584	A1	19830301	ES 1981-506584	19811027
	ES 506583	A1	19830401	ES 1981-506583	19811027
	US 4590273	A	19860520	US 1984-594366	19840328
PRAI	DE 1980-3034001	A	19800910		
	EP 1981-106884	A	19810903		
	US 1981-300434	A2	19810908		
OS	CASREACT 97:23646; MARPAT 97:23646				
GI					



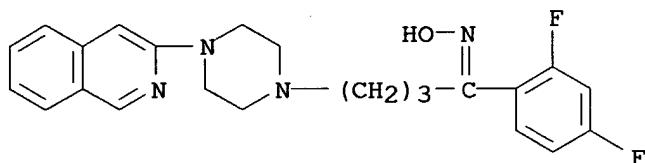
AB I [R1 = H, C1-6 alkyl, C1-4 alkoxy, C3-6 cycloalkyl, thienyl, furyl, pyridyl, aryl, (CH2)pCOR (R = aryl, furyl, thienyl, pyridyl; p = 0-4), etc.; R2 = H, C1-6 alkyl; R3 = H, halo, C1-6 alkyl, alkoxy, etc.; m, n = 1, 2] were prep'd. as antiarrhythmics, antihypertensives, and neuroleptics (no data). Thus, 3-chloroisoquinoline and pyrazine gave 3-pyrazinoisoquinoline, which with .omega.-chloro-4-florobutyrophenone ethylene ketal (followed by deprotection) gave II.

IT **82117-77-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and hydride redn. of)

RN 82117-77-9 CAPLUS

CN 1-Butanone, 1-(2,4-difluorophenyl)-4-[4-(3-isoquinolinyl)-1-piperazinyl]-, oxime (9CI) (CA INDEX NAME)



L9 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1974:536181 CAPLUS

DN 81:136181

TI Pharmaceutical 1-(4-fluorophenyl)-4-(1-piperazinyl)-1-butanone oximes

IN Buzas, Andre; Bruneau, Jacques

PA Laboratoires Bruneau et Cie.

SO Ger. Offen., 16 pp. Addn. to Ger. Offen. 2,257,639 (CA 79:92278d).



CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2319016	A1	19740808	DE 1973-2319016	19730414
	FR 2215953	A2	19740830	FR 1973-3925	19730205
	GB 1384523	A	19750219	GB 1973-19306	19730424
PRAI	FR 1973-3925	A	19730205		

GI For diagram(s), see printed CA Issue.

AB Eight piperazines I [R = CH<sub>2</sub>CH:CH<sub>2</sub>, COC<sub>6</sub>H<sub>2</sub>(OMe)<sub>3</sub>-3,4,5,-2-chloro-3-[R = CH<sub>2</sub>CH:CH<sub>2</sub>, COC<sub>6</sub>H<sub>2</sub>(OMe)<sub>3</sub>-3,4,5,-2-chloro-3-pyridylcarbonyl, (CH<sub>2</sub>)<sub>2</sub>NEt<sub>2</sub>, or 2-morpholinoethyl; R<sub>1</sub> = 2-pyridyl or 2-pyrimidyl] were prepd. by reaction of I (R = H) with RCl. I had analgesic, antiinflammatory, and spasmolytic activity and potentiated the barbiturate anesthesia in mice. The LD<sub>50</sub> was tested in mice on oral administration.

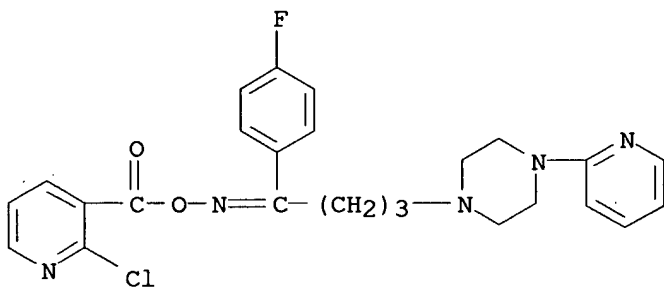
IT **54042-48-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and pharmacol. activity of)

RN 54042-48-7 CAPLUS

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyridinyl)-1-piperazinyl]-, O-[(2-chloro-3-pyridinyl)carbonyl]oxime, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

=&gt; d 19 3-10,12,14,15,17-19 bib abs hitstr

L9 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:6498 CAPLUS

DN 142:355237

TI Syntheses of novel fluoroquinolone compounds

AU Li, Jianyong; Lu, Runhua; Yang, Aimei; Zhang, Jiyu

CS Lanzhou Institute of Chemical Physics, Chinese Academy of Sciences, Lanzhou, 730050, Peop. Rep. China

SO Heterocyclic Communications (2004), 10(6), 447-450

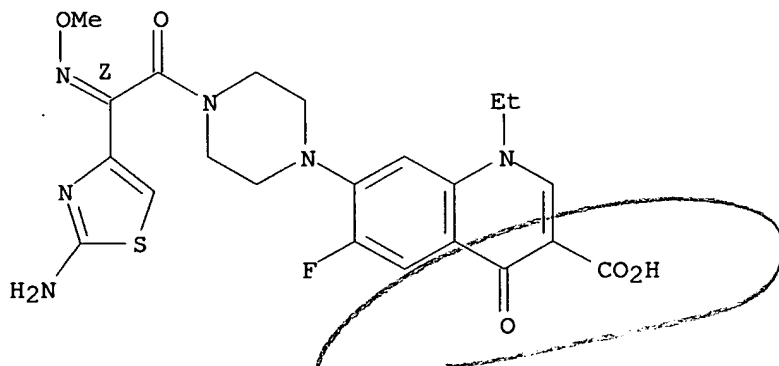
CODEN: HCOMEX; ISSN: 0793-0283

PB Freund Publishing House Ltd.

10/773035

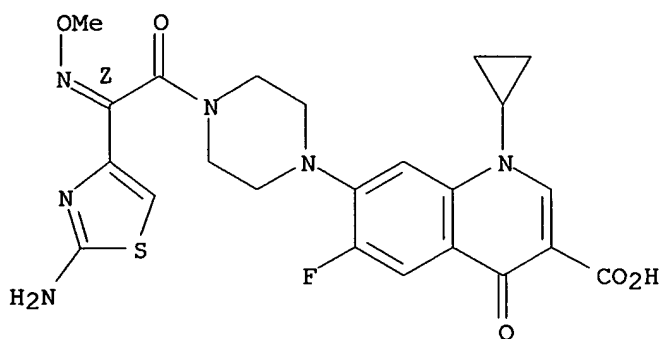
DT Journal  
LA English  
OS CASREACT 142:355237  
AB A series of 1-substituted-6-fluoro-7-(1-(4-((Z)-2-(2-aminothiazol-4-yl)-2-methoxyiminoacetyl)piperazinyl))-1,4-dihydro-4-oxo-3-quinoline carboxylic acid was prepd. and evaluated for antibacterial activity. These compds. were prepd. by the combination of 1-substituted- 6-fluoro-7-piperazinyl-1,4-dihydro-4-oxo-3-quinoline-carboxylic acid and S-2-benzo-thiazolyl-(Z)-2-(2-aminothiazol-4-yl)-2-methoxyimino acetate under the condition of Schotten-Baumann. The title compds. are confirmed with NMR,UV, IR, FAB-MS, et al.  
IT **848861-61-0P 848861-62-1P 848861-64-3P**  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. and antibacterial activity of fluoroquinolone compds. from piperazinyl fluorodihydroquinolinonecarboxylic acid and benzothiazolyl aminothiazolyl methoxyiminothioacetate)  
RN 848861-61-0 CAPLUS  
CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 848861-62-1 CAPLUS  
CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

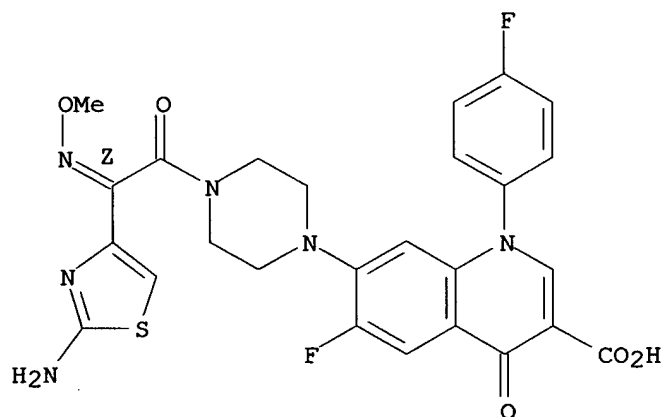
Double bond geometry as shown.



RN 848861-64-3 CAPLUS

CN 3-Quinolonecarboxylic acid, 7-[4-[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]-1-piperazinyl]-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:83695 CAPLUS

DN 141:314131

TI Antituberculosis agents VII. Synthesis and in vitro evaluation of antimycobacterial activity and cytotoxicity of some N-piperazinyl quinolone derivatives

AU Foroumadi, A.; Soltani, F.; Asadipour, A.

CS Department of Medicinal Chemistry, Faculty of Pharmacy, Kerman University of Medical Sciences, Kerman, Iran

SO Bollettino Chimico Farmaceutico (2003), 142(3), 130-134  
CODEN: BCFAAI; ISSN: 0006-6648

PB Societa Editoriale Farmaceutica

DT Journal

LA English

OS CASREACT 141:314131

AB A series of N-[2-(2,4-dichlorophenyl)-2-oxoethyl] and N-[2-aryl-2-

benzyloxyimino ethyl]piperazinyl quinolones have been prep'd. as part of a study to examine the relationship between structural modification at 7-position and activity against *Mycobacterium tuberculosis*. Primary screening was conducted at concn. of 6.25 .mu.g/mL against *M tuberculosis* H3-Rv using BACTEC 460 radiometric system and BACTEC 12B medium. The actual min. inhibitory concns. (MIC) were det'd. for compds. demonstrating at least 90% inhibition in the primary screening. The results demonstrate that substitution of Ph ring with 4- fluoro, 2,4-difluoro and 2,4-dichloro groups resulted in variable inhibition percentage (Inh%=-7-101). Despite the significant antituberculosis activity of ciprofloxacin and norfloxacin derivs. contg. 2-(2,4-dichlorophenyl)-2-oxoethyl moiety (MIC=0.39&6.25 .mu.g/mL), compds. with 2-aryl-2-(hydroxyimino)ethyl, 2-aryl-2-(benzyloxyimino)ethyl and 2-aryl-2-(4-chlorobenzyloxyimino)ethyl did not show any activity (MIC>6.25 .mu.g/mL, Inh%=-7 to 75). Active compds. were also screened by serial diln. to assess toxicity to a VERO cell line. While the most active comp'd. was not sol. in tissue culture media, another product showed IC50=5.3 .mu.g/mL.

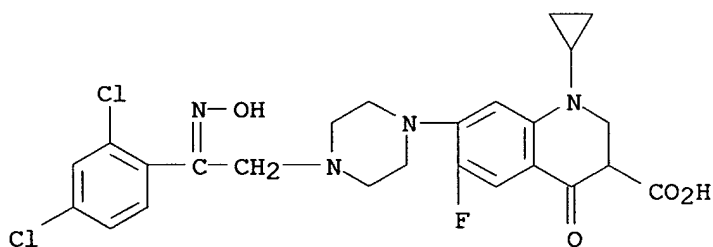
IT 769165-03-9P 769165-05-1P 769165-07-3P  
769165-09-5P 769165-11-9P 769165-12-0P  
769165-13-1P 769165-14-2P 769165-15-3P  
769165-16-4P 769165-17-5P 769165-18-6P  
769165-20-0P 769165-22-2P 769165-24-4P  
769165-25-5P 769165-26-6P 769165-27-7P  
769165-28-8P 769165-29-9P 769165-31-3P  
769165-32-4P 769165-33-5P 769165-35-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and vitro evaluation of antimycobacterial activity and cytotoxicity of N-piperazinyl quinolone derivs.)

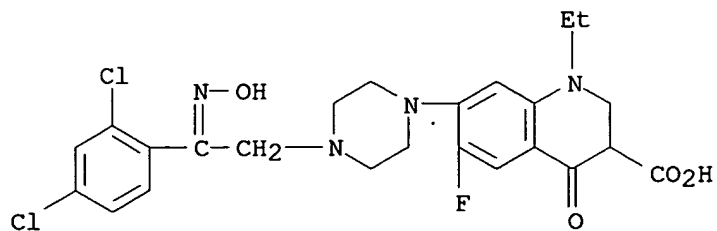
RN 769165-03-9 CAPLUS

CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-dichlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



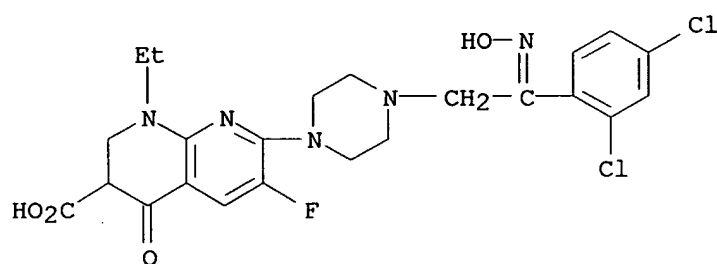
RN 769165-05-1 CAPLUS

CN 3-Quinolonecarboxylic acid, 7-[4-[2-(2,4-dichlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



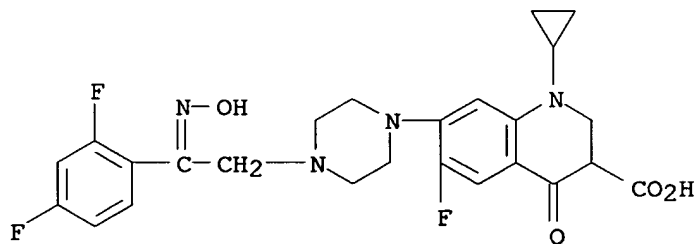
RN 769165-07-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-(2,4-dichlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



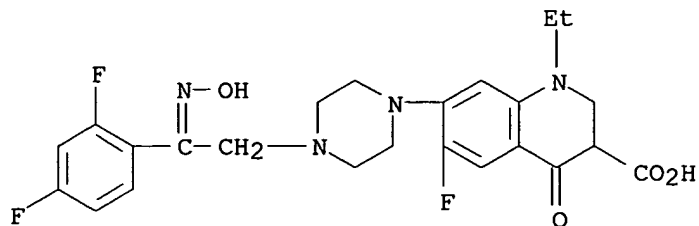
RN 769165-09-5 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-difluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



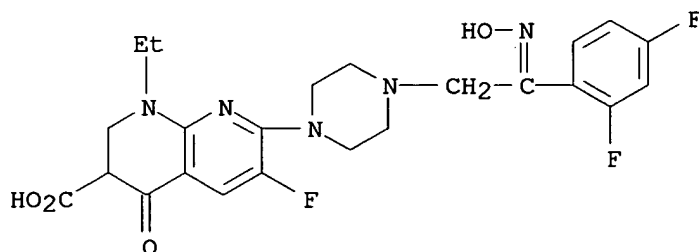
RN 769165-11-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-(2,4-difluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



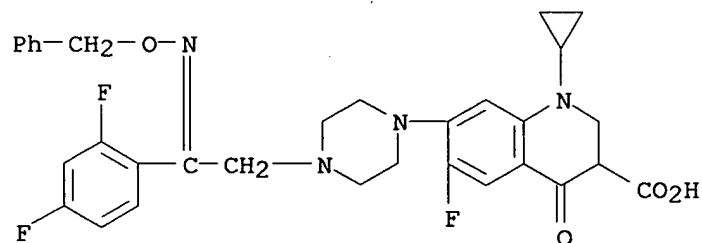
RN 769165-12-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-(2,4-difluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



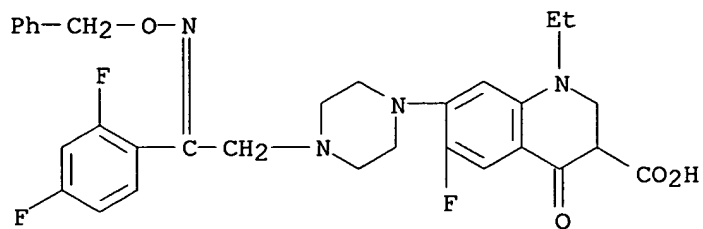
RN 769165-13-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-difluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



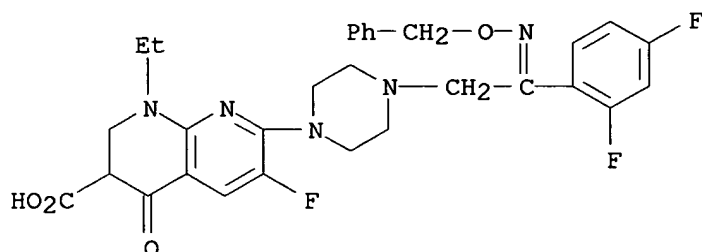
RN 769165-14-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-(2,4-difluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



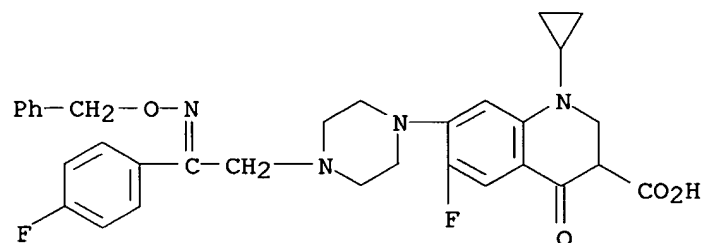
RN 769165-15-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-(2,4-difluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



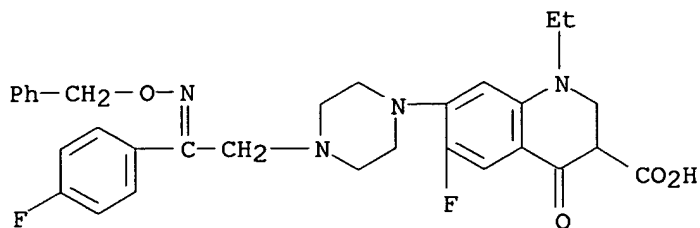
RN 769165-16-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



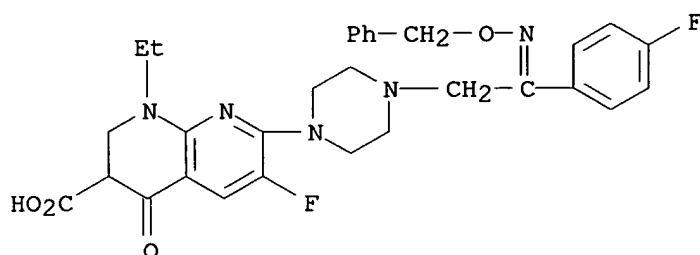
RN 769165-17-5 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



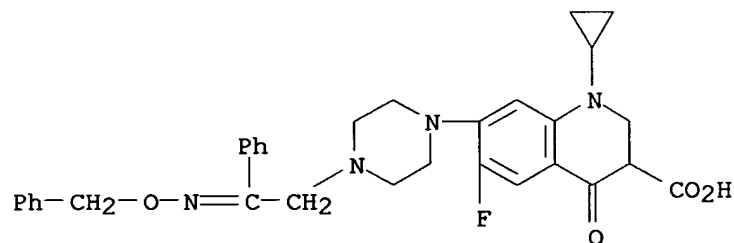
RN 769165-18-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



RN 769165-20-0 CAPLUS

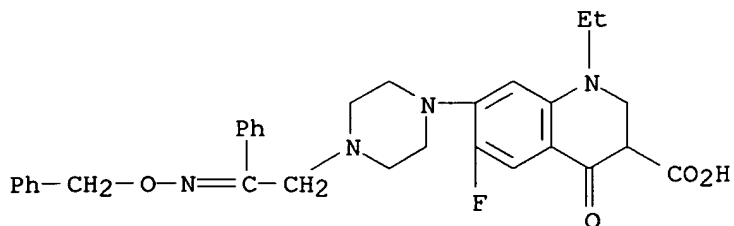
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo-7-[4-[2-phenyl-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 769165-22-2 CAPLUS

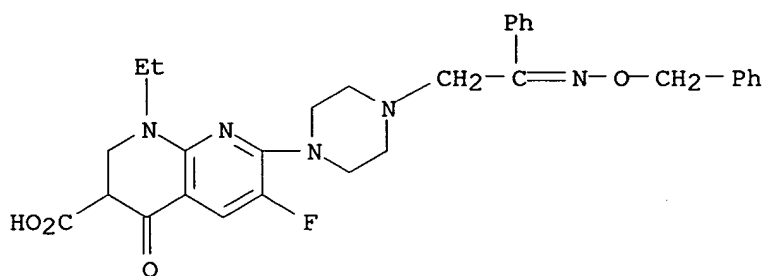
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo-7-[4-[2-phenyl-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)





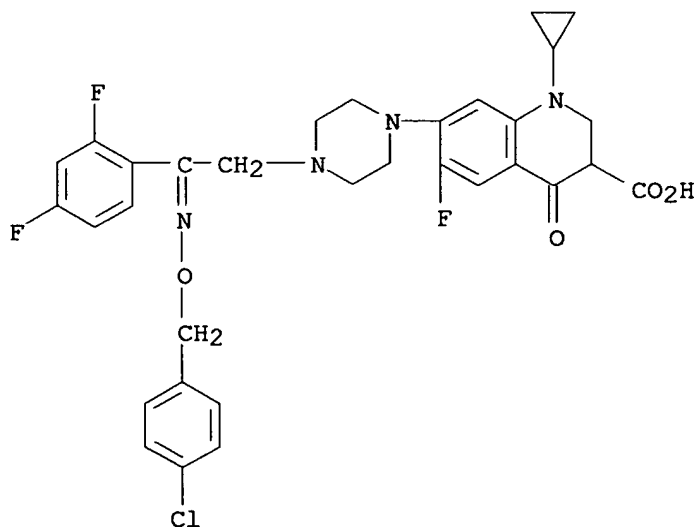
RN 769165-24-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo-7-[4-[2-phenyl-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]- (9CI)  
(CA INDEX NAME)



RN 769165-25-5 CAPLUS

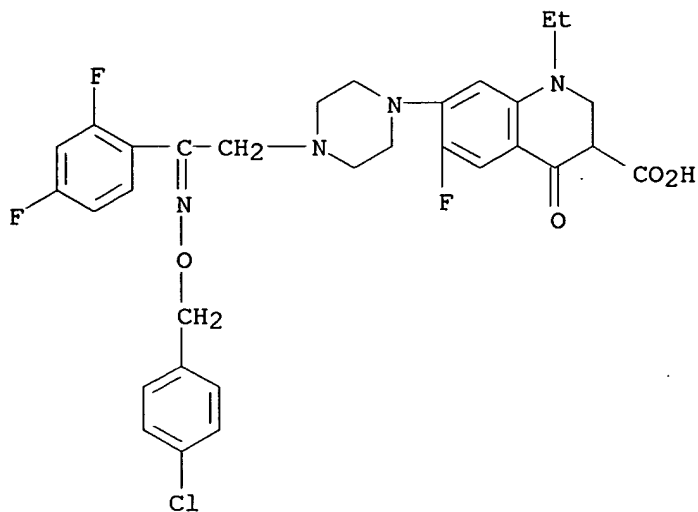
CN 3-Quinolinecarboxylic acid, 7-[4-[2-[[[(4-chlorophenyl)methoxy]imino]-2-(2,4-difluorophenyl)ethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



RN 769165-26-6 CAPLUS

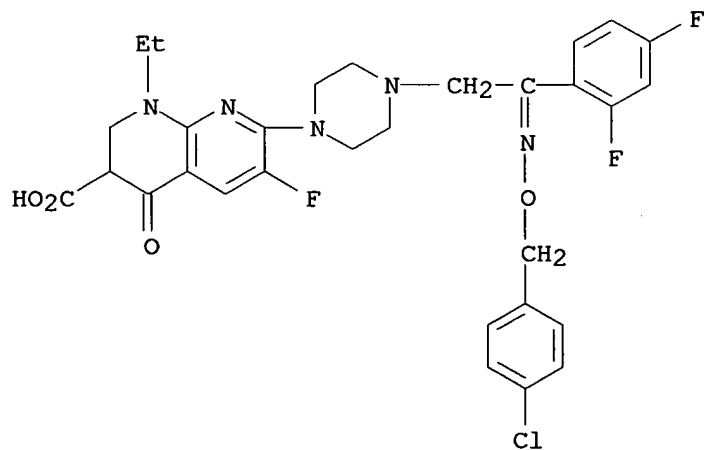
CN 3-Quinolinecarboxylic acid, 7-[4-[2-[[[(4-chlorophenyl)methoxy]imino]-2-

(2,4-difluorophenyl)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



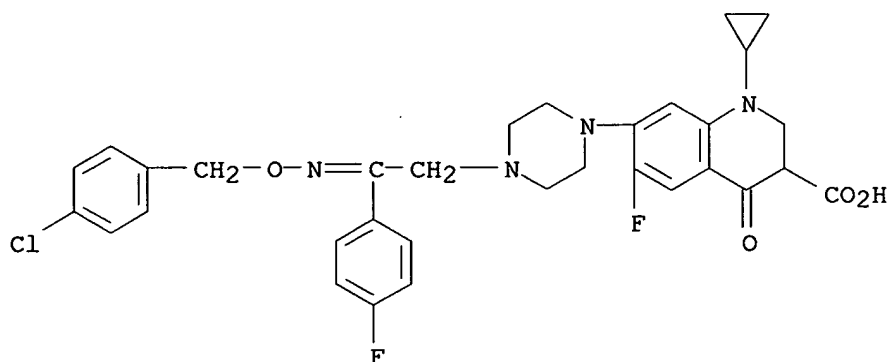
RN 769165-27-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-[[4-chlorophenyl)methoxy]imino]-2-(2,4-difluorophenyl)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



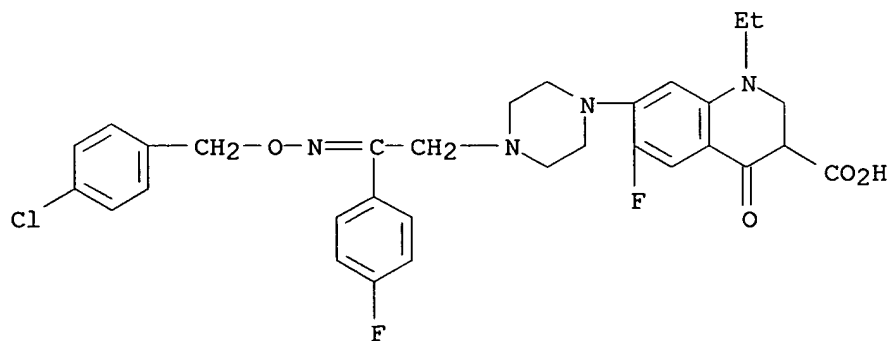
RN 769165-28-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-[[4-chlorophenyl)methoxy]imino]-2-(4-fluorophenyl)ethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



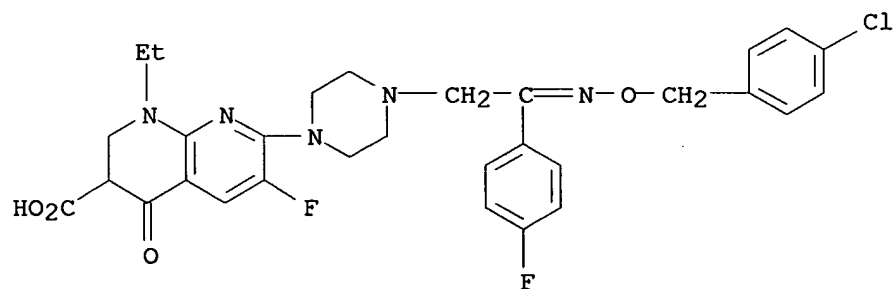
RN 769165-29-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-[[4-(4-chlorophenyl)methoxy]imino]-2-(4-fluorophenyl)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



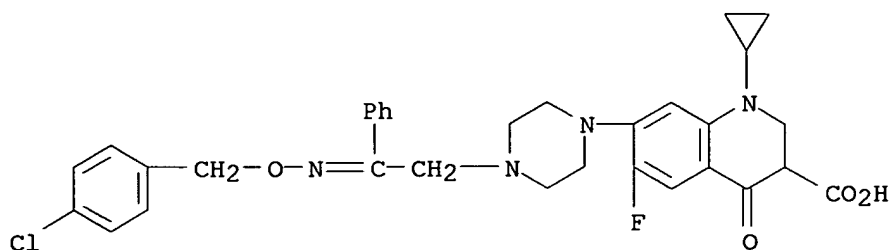
RN 769165-31-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-[[4-(4-chlorophenyl)methoxy]imino]-2-(4-fluorophenyl)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



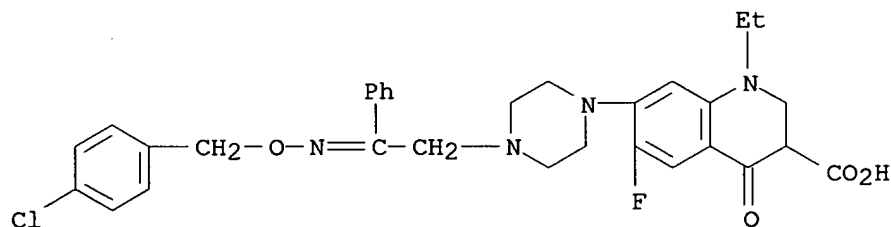
RN 769165-32-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-[[4-(4-chlorophenyl)methoxy]imino]-2-phenylethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



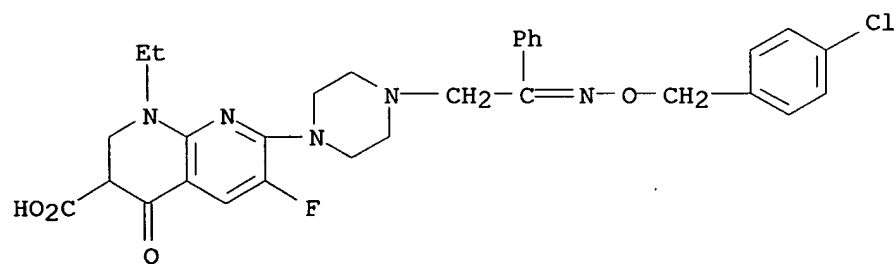
RN 769165-33-5 CAPLUS

CN 3-Quinolonecarboxylic acid, 7-[4-[2-[[[4-chlorophenyl)methoxy]imino]-2-phenylethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



RN 769165-35-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-[[[4-chlorophenyl)methoxy]imino]-2-phenylethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:661410 CAPLUS

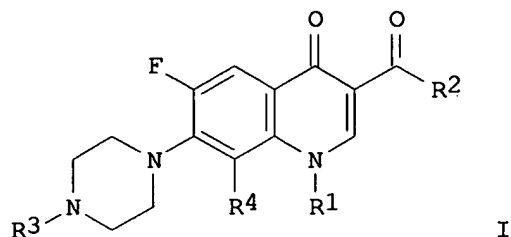
DN 140:16634

TI Synthesis, and antimycobacterial and cytotoxic evaluation of certain fluoroquinolone derivatives

AU Sheu, Jia-Yuh; Chen, Yeh-Long; Tzeng, Cherng-Chyi; Hsu, Shu-Lin; Fang, Kuo-Chang; Wang, Tai-Chi

CS School of Medicinal and Applied Chemistry, College of Life Science, Kaohsiung Medical University, Kaohsiung City, Taiwan

SO Helvetica Chimica Acta (2003), 86(7), 2481-2489  
 CODEN: HCACAV; ISSN: 0018-019X  
 PB Verlag Helvetica Chimica Acta  
 DT Journal  
 LA English  
 OS CASREACT 140:16634  
 GI



AB A series of 6-fluoro-7-piperazinyl-1,4-dihydroquinol-4-ones I (R1 = Et, 4-O2NC6H4, 4-H2NC6H4, 4-MeCONHC6H4, etc.; R2 = HO, EtO, H2N; R3 = H, Me, 4-MeOC6H4COCH2, etc.; R4 = H, F) was synthesized and evaluated for antimycobacterial and cytotoxic activities. Preliminary results indicated that 1-aryl-6-fluoroquinolones I [R1 = 4-amino-2-fluorophenyl; R2 = HO; R3 = H, Me; R4 = H; (II)] are able to completely inhibit the growth of M. tuberculosis at a concn. of 6.25 .mu.g/mL, while I (R1 = 4-amino-2-fluorophenyl; R2 = HO; R3 = 4-MeOC6H4COCH2; R4 = H) exhibits only 31% growth inhibition at the same concn. For 1-ethyl-6-fluoroquinolones, I [R1 = Et; R2 = HO; R3 = MeCOCH2, PhCOCH2; R4 = F; (III)] both showed complete inhibition, while their 2-iminoethyl and substituted Ph counterparts were less active. These results deserve full attention esp. because II and III are non-cytotoxic at a concn. of 100 .mu.M. Furthermore, I (R1 = 4-amino-2-fluorophenyl; R2 = HO; R3 = R4 = H) proved to be a potent antituberculosis agent with selective index (SI) > 40 and an EC90 value of 5.75 .mu.g/mL.

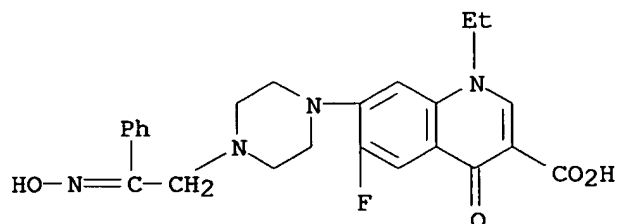
IT 202925-30-2

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)

(prepn. and antimycobacterial, antituberculosis, cytotoxic and anticancer evaluation of fluoro(piperazinyl)dihydroquinolones)

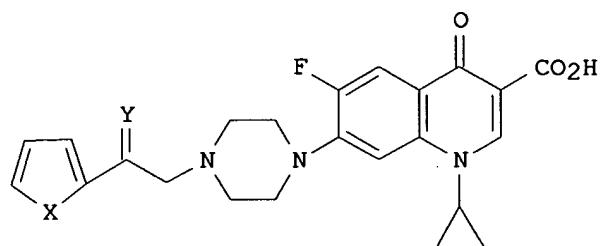
RN 202925-30-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:394974 CAPLUS  
 DN 139:347942  
 TI Antituberculosis agents IV: in vitro antimycobacterial activity and cytotoxicity of N-piperazinyl quinolone derivatives containing 2-thienyl and 2-furyl moiety  
 AU Foroumadi, A.; Soltani, F.; Mirzaei, M.  
 CS Medicinal Chemistry Department, Faculty of Pharmacy, Kerman University of Medical Sciences, Kerman, Iran  
 SO Pharmazie (2003), 58(5), 347-348  
 CODEN: PHARAT; ISSN: 0031-7144  
 PB Govi-Verlag Pharmazeutischer Verlag GmbH  
 DT Journal  
 LA English  
 GI



I X=Y=O  
 II X=S, Y=O  
 III X=S, Y=NOMe

AB A series of N-[2-(2-furyl)-2-oxoethyl], N-[2-(2-furyl)-2-oxyiminoethyl], N-[2-oxo-2-(2-thienyl)ethyl] and N-[2-oxyimino-2-(2-thienyl)ethyl] piperazinyl quinolones were evaluated for antituberculosis activity against Mycobacterium tuberculosis H37Rb using the BACTEC 460 radiometric system and BACTEC 12B medium. Compds. I, II, and III were efficient antimycobacterial agents, showing MIC values ranging from 0.78 to 6.25 .mu.g/mL. In general, ciprofloxacin derivs. were more active than norfloxacin derivs. and the oxime analogs were less active than corresponding ketones. I, II, and III were also screened by serial diln. to assess toxicity to VERO cell line. The cytotoxicity of tested compds. indicated that I was the less toxic compd. (IC50 > 62.5 .mu.g/mL). This compd. was tested for efficacy in vitro in TB-infected macrophage model (EC90 = 3.25 .mu.g/mL).

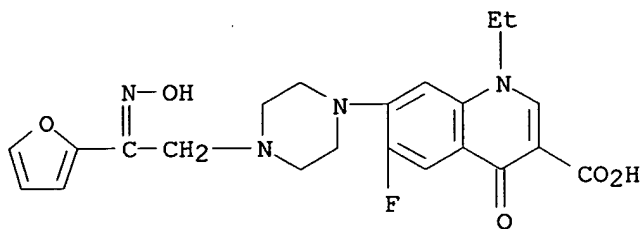
IT 255916-15-5 255916-16-6 255916-17-7  
 255916-18-8 255916-19-9 255916-20-2  
 301827-25-8 301827-26-9 301827-28-1  
 301827-29-2 301827-31-6 301827-32-7

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(in vitro antimycobacterial activity and cytotoxicity of piperazinyl quinolone derivs. contg. thienyl and furyl moieties)

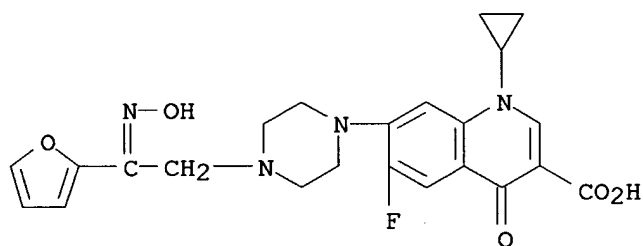
RN 255916-15-5 CAPLUS

CN 3-Quinolonecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(2-furanyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



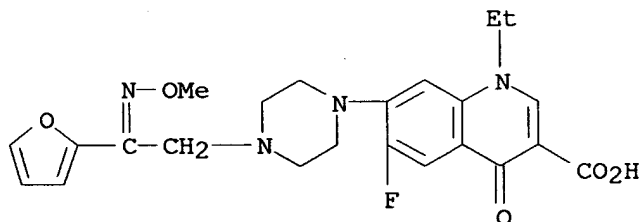
RN 255916-16-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-7-[4-[2-(2-furanyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



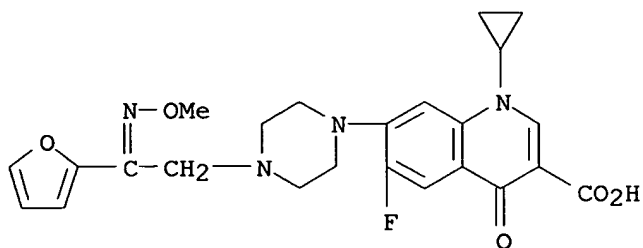
RN 255916-17-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(2-furanyl)-2-(methoxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



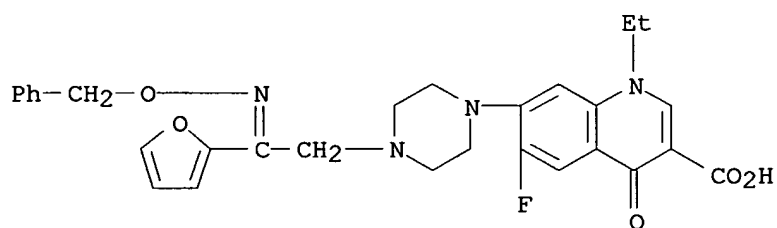
RN 255916-18-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-7-[4-[2-(2-furanyl)-2-(methoxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



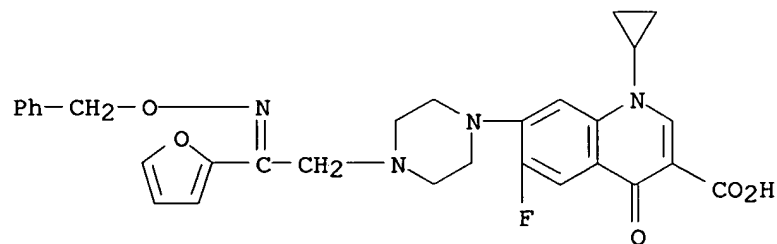
RN 255916-19-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(2-furanyl)-2-  
[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA  
INDEX NAME)



RN 255916-20-2 CAPLUS

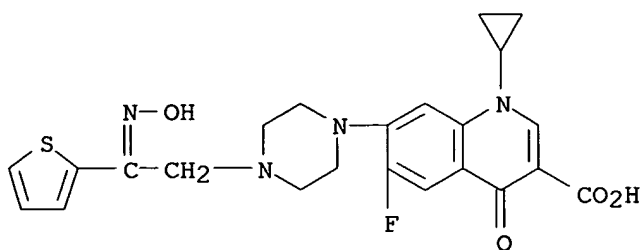
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-7-[4-[2-(2-furanyl)-2-  
[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA  
INDEX NAME)



RN 301827-25-8 CAPLUS

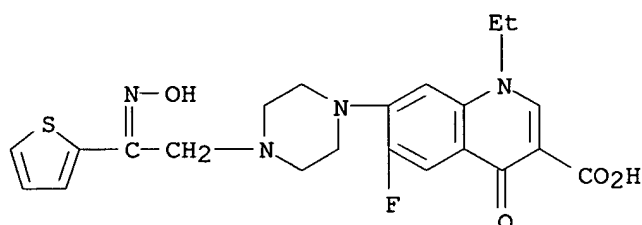
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-  
(hydroxyimino)-2-(2-thienyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX  
NAME)





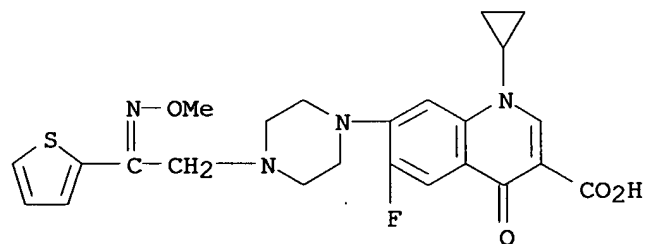
RN 301827-26-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(2-thienyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



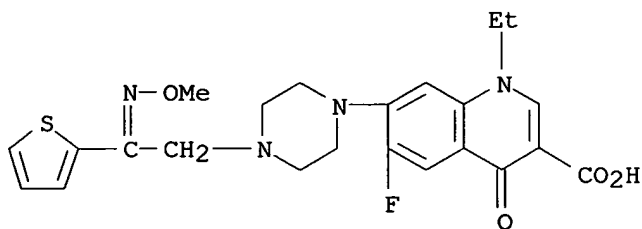
RN 301827-28-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-(methoxyimino)-2-(2-thienyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



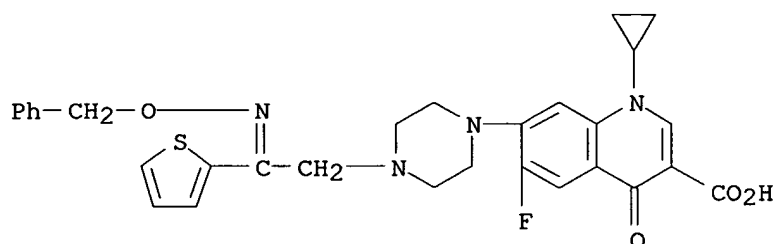
RN 301827-29-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(methoxyimino)-2-(2-thienyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



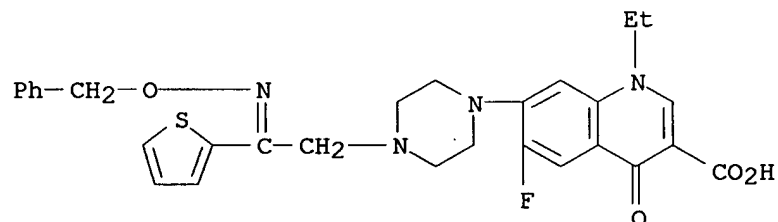
RN 301827-31-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-7-[4-[2-[(phenylmethoxy)imino]-2-(2-thienyl)ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 301827-32-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-[4-[2-[(phenylmethoxy)imino]-2-(2-thienyl)ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:703049 CAPLUS

DN 137:382145

TI Antituberculosis agents III. In vitro evaluation of antimycobacterial activity and cytotoxicity of some N-piperazinyl quinolone derivatives

AU Foroumadi, A.; Soltani, F.; Emami, S.; Davood, A.

CS Department of Medicinal Chemistry, Faculty of Pharmacy, Kerman University of Medical Sciences, Kerman, Iran

SO Bollettino Chimico Farmaceutico (2002), 141(3), 247-249

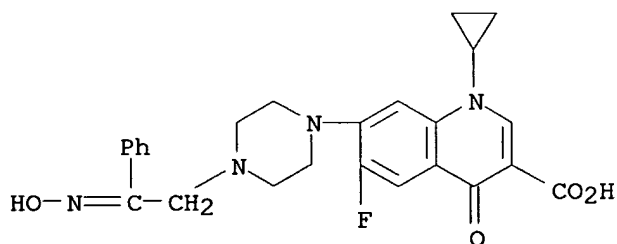
CODEN: BCFAAI; ISSN: 0006-6648

PB Societa Editoriale Farmaceutica

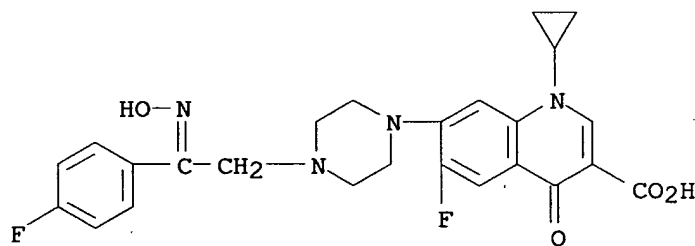
DT Journal  
 LA English  
 AB A series of N-[2-oxo-2-(4-substituted phenyl)ethyl]piperazinyl quinolones (1a-e, 2a-e and 3a-e) and N-[2-hydroxyimino-2-(4-substituted phenyl)ethyl]piperazinyl quinolones (1f-j, 2f-j and 3d-f) were evaluated for antituberculosis activity against Mycobacterium tuberculosis H33R, using the BACTEC 460 radiometric system and BACTEC 12B medium. Active compds. were also screened by serial diln. to assess toxicity to a VERO cell line. 9 Compds. were efficient antimycobacterial agents showing MIC values ranging from 0.78 to 6.25 .mu.g/mL. Generally, ciprofloxacin derivs. were more active than norfloxacin and enoxacin derivs. and the oxime analogs were less active than corresponding ketones. The most selective and less toxic compd. 1a was tested for efficacy in vitro in TB-infected macrophage model (EC90 = 3.68 .mu.g/mL, EC99 = 9.18 .mu.g/mL).

IT **202925-35-7 202925-37-9**  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (in vitro antimycobacterial activity and cytotoxicity of N-piperazinyl quinolone derivs.)

RN 202925-35-7 CAPLUS  
 CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



RN 202925-37-9 CAPLUS  
 CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:392235 CAPLUS  
 DN 136:401781  
 TI Preparation of 6-fluoro-1,4-dihydro-7-[4-(2-hydroxyiminoethyl)-1-

piperazinyl]-4-oxoquinoline-3-carboxylic acid derivatives as antibacterial and anticancer agents

IN Tzeng, Chong-Chyi; Chen, Yeh-Long; Ko, Feng-Nien

PA Pharmaceutical Industry Technology, Taiwan

SO U.S. Pat. Appl. Publ., 9 pp., Division of U.S. Ser. No. 489,058, abandoned.

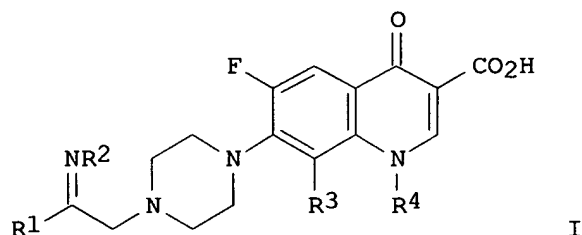
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002061895	A1	20020523	US 2001-2733	20011115
	US 6492373	B2	20021210		
	TW 584632	B	20040421	TW 2000-89100389	20000112
PRAI	TW 2000-89100389	A	20000112		
	US 2000-489058	B3	20000121		
OS	MARPAT 136:401781				
GI					



AB The title compds. [I; R1 = alkyl, (un)substituted Ph; R2 = OH, alkoxy, NH2, alkyl, CH2Ph; R3 = H, halo; R4 = alkyl, (un)substituted Ph], useful for the treatment of bacterial infections and/or renal cancer diseases, were prepd. Thus, treatment of 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-[4-(2-oxopropyl)-1-piperazinyl]quinoline-3-carboxylic acid with hydrazine in MeOH afforded 83% I [R1 = Me; R2 = NH2; R3 = H; R4 = Et]. The compds. I were tested for antibacterial and anticancer activity, and data were given.

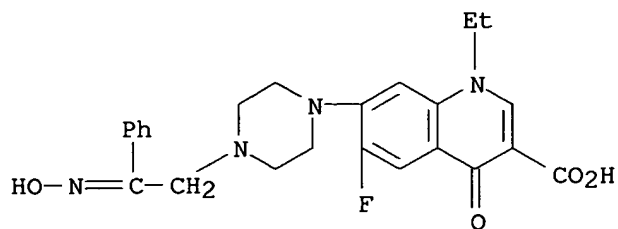
IT 202925-30-2P 202925-32-4P 202925-34-6P  
307543-39-1P 428821-64-1P 428821-65-2P  
428821-66-3P 428821-67-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 6-fluoro-1,4-dihydro-7-[4-(2-hydroxyiminoethyl)-1-piperazinyl]-4-oxoquinoline-3-carboxylic acid derivs. as antibacterial and anticancer agents)

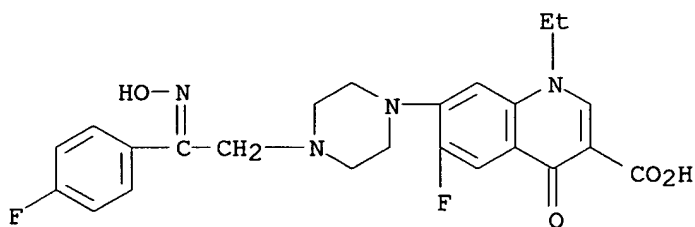
RN 202925-30-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



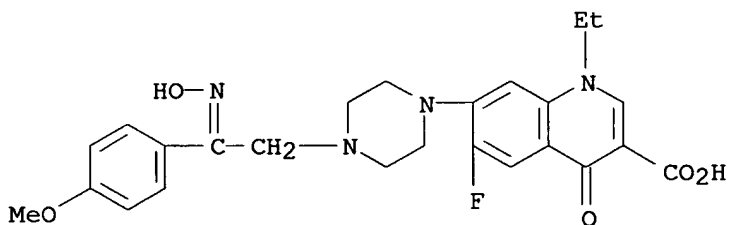
RN 202925-32-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



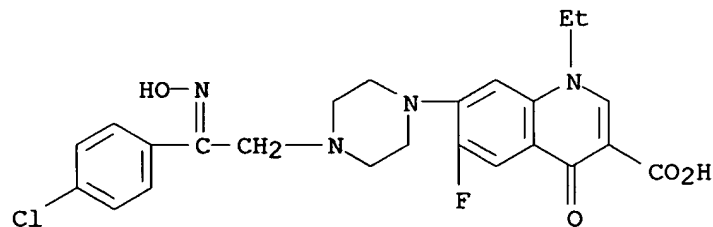
RN 202925-34-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



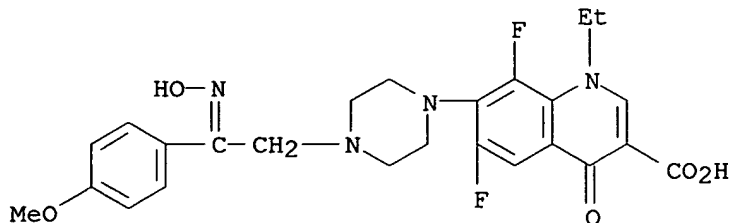
RN 307543-39-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-(4-chlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



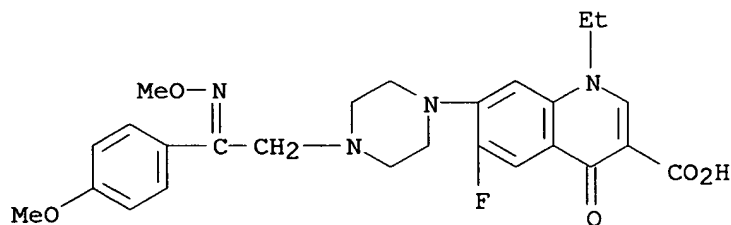
RN 428821-64-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6,8-difluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



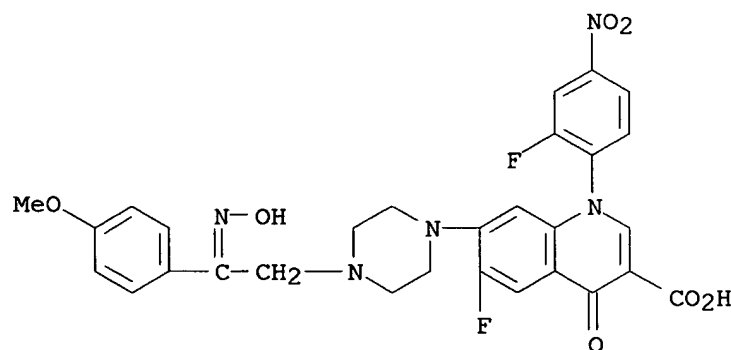
RN 428821-65-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(methoxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



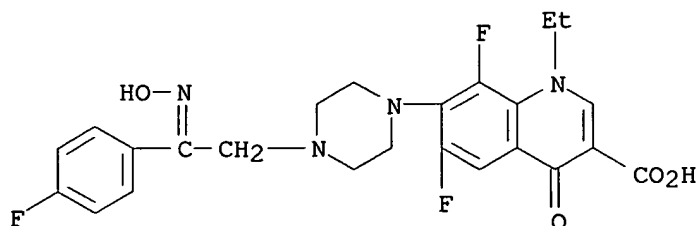
RN 428821-66-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 6-fluoro-1-(2-fluoro-4-nitrophenyl)-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

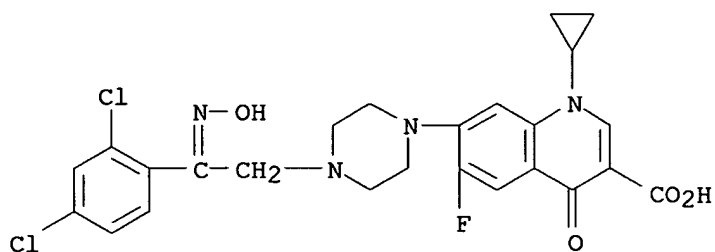


RN 428821-67-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6,8-difluoro-7-[4-[2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

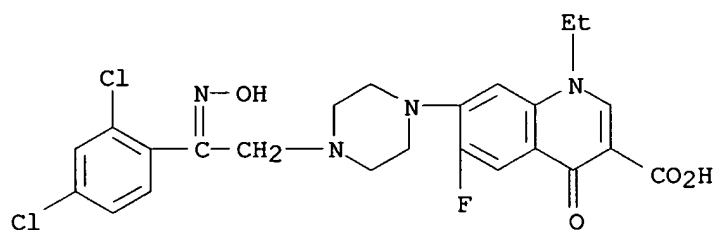


L9 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:217203 CAPLUS  
 DN 137:352876  
 TI Synthesis and antibacterial activity of some novel N-substituted piperazinyl-quinolones  
 AU Foroumadi, A.; Davood, A.; Mirzaei, M.; Emami, S.; Moshafi, M. H.  
 CS Department of Medicinal chemistry, Faculty of Pharmacy, Kerman University of Medical Sciences, Kerman, Iran  
 SO Bollettino Chimico Farmaceutico (2001), 140(6), 411-416  
 CODEN: BCFAAI; ISSN: 0006-6648  
 PB Societa Editoriale Farmaceutica  
 DT Journal  
 LA English  
 OS CASREACT 137:352876  
 AB A series of N-substituted-piperazinyl-quinolones were synthesized and evaluated for in vitro antibacterial activity. Compds. with a 2-(2,4-dichlorophenyl)-2-oxoethyl group attached to the piperazine ring had similar antibacterial activity to the ref. drugs, ciprofloxacin, norfloxacin and enoxacin against both Gram-pos. and Gram-neg. bacteria. Some of the oximes derivs. were almost less active than corresponding ketones against the tested microorganisms, however the 2,4-difluorophenyl analogs were more active than 2,4-dichlorophenyl derivs. If the hydrogen of oxime is replaced with a benzyl group, in-vitro antibacterial activity was decreased against both Gram-pos. and Gram-neg. bacteria. Generally ciprofloxacin derivs. were more active than norfloxacin and enoxacin derivs.  
 IT **474973-77-8P 474973-78-9P 474973-79-0P**  
**474973-80-3P 474973-81-4P 474973-82-5P**  
**474973-83-6P 474973-84-7P 474973-85-8P**  
**474973-86-9P 474973-87-0P 474973-88-1P**  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. and antibacterial activity of N-substituted piperazinyl-quinolones)  
 RN 474973-77-8 CAPLUS  
 CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-dichlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



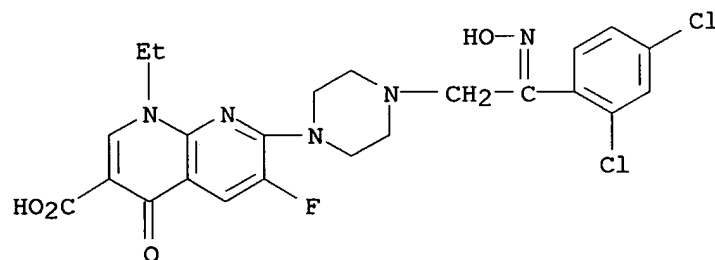
RN 474973-78-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-(2,4-dichlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 474973-79-0 CAPLUS

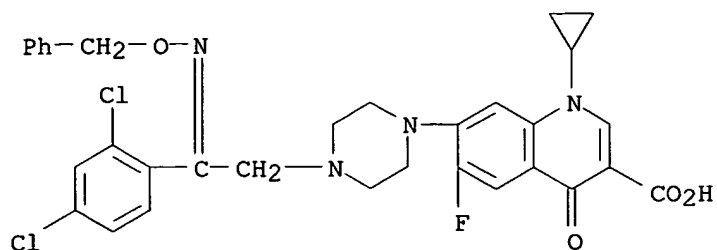
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-(2,4-dichlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 474973-80-3 CAPLUS

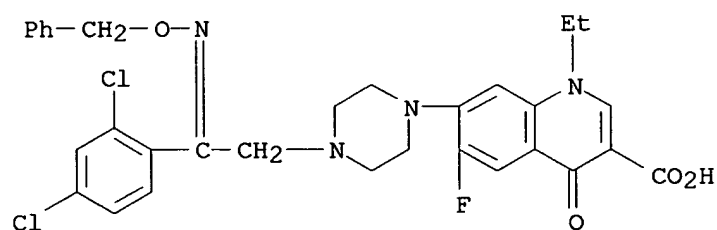
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-dichlorophenyl)-2-(phenylmethoxyimino)ethyl]-1-piperazinyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)





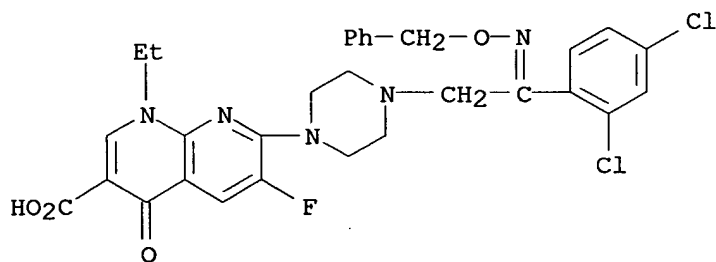
RN 474973-81-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-(2,4-dichlorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



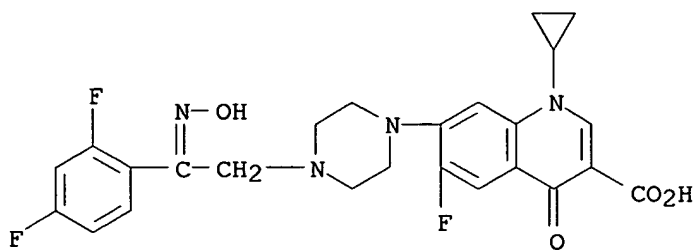
RN 474973-82-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-(2,4-dichlorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



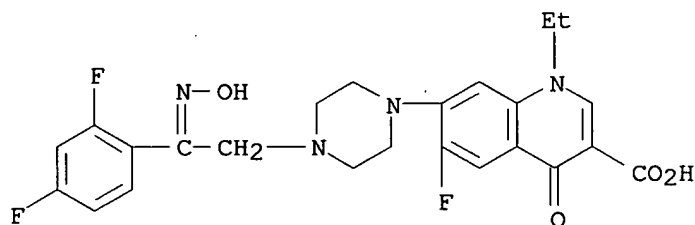
RN 474973-83-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-difluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



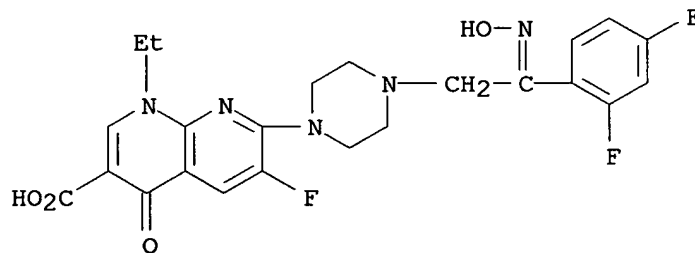
RN 474973-84-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-(2,4-difluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



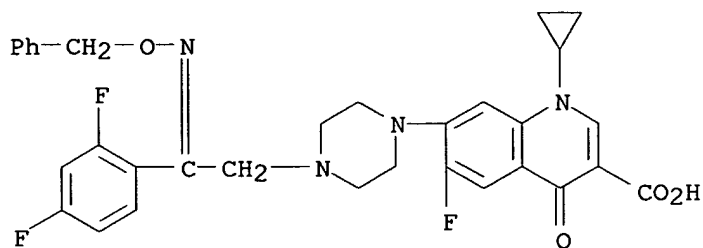
RN 474973-85-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-(2,4-difluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



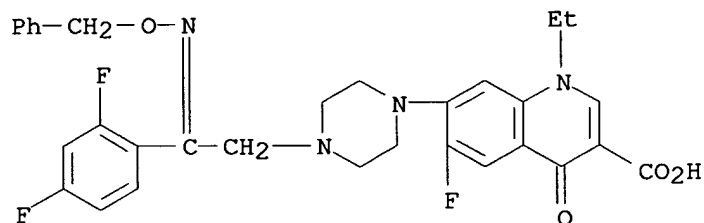
RN 474973-86-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-difluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



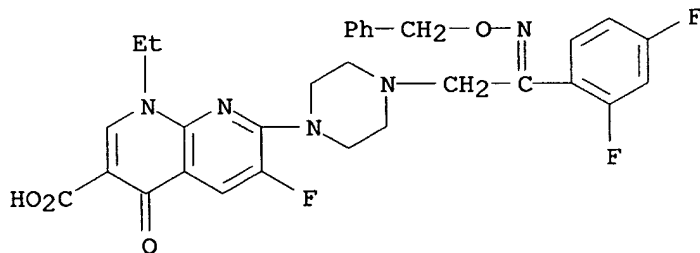
RN 474973-87-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-(2,4-difluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 474973-88-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-(2,4-difluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:412636 CAPLUS

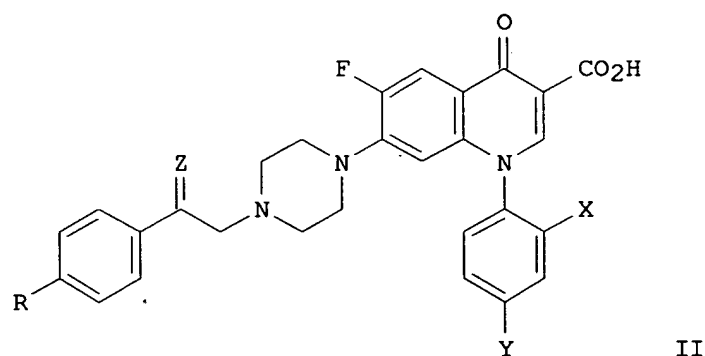
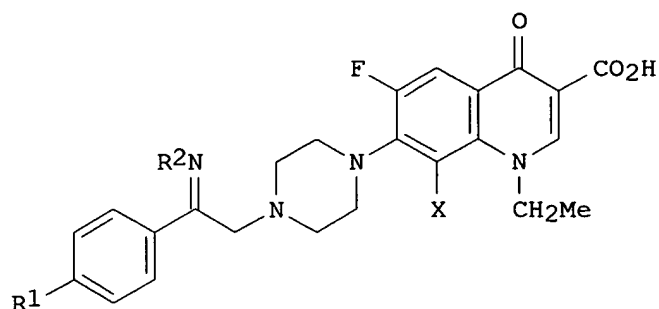
DN 135:166770

TI Synthesis and antibacterial evaluation of certain quinolone derivatives  
AU Chen, Yeh-Long; Fang, Kuo-Chang; Sheu, Jia-Yuh; Hsu, Shu-Lin; Tzeng, Cherng-Chyi

CS School of Chemistry, Kaohsiung Medical University, Kaohsiung City, 807, Taiwan

SO Journal of Medicinal Chemistry (2001), 44(14), 2374-2377  
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society  
 DT Journal  
 LA English  
 OS CASREACT 135:166770  
 GI



AB A no. of 7-substituted quinolone derivs., e.g., I ( $R_1 = H, F, Cl, MeO, R_2 = OH, NHCONH_2, NHCSNH_2, NH_2, X = F, H$ ) and II ( $R = F, MeO, X = H, F, Y = NO_2, NH_2, Z = O, NOH$ ), were synthesized and evaluated for antibacterial and cytotoxic activities. Preliminary results indicated that most compds. tested in this study demonstrated better activity against methicillin-resistant *Staphylococcus aureus* than norfloxacin. Among them, 1-(4-amino-2-fluorophenyl)-6-fluoro-1,4-dihydro-7-{4-[2-(4-methoxyphenyl)-2-hydroxyiminoethyl]-1-piperazinyl}-4-oxo-3-quinolinecarboxylic acid II ( $R = MeO, Z = F, Y = NH_2, Z = NOH$ ) (III) and its ketone precursor II ( $X = O$ ) (IV) exhibited significant activities against *Klebsiella pneumoniae*, methicillin-resistant *S. aureus*, erythromycin- and ampicillin-resistant *Streptococcus pneumoniae*, and vancomycin-resistant *Enterococcus faecalis*. Due to strong cytotoxicities of III (a mean log GI50 of -5.40), compd. IV, with good antibacterial activities and low cytotoxicities (a mean log GI50 of -4.67), is a more potential drug candidate.

IT 307543-36-8 353793-94-9 353793-96-1  
 353793-98-3 353793-99-4

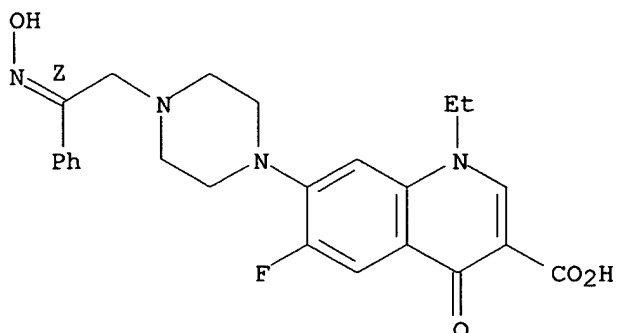
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (prepn., cytotoxicity, antibacterial, and antitumor activity of piperazinyl quinolones)

RN 307543-36-8 CAPLUS

10/773035

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[(2Z)-2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

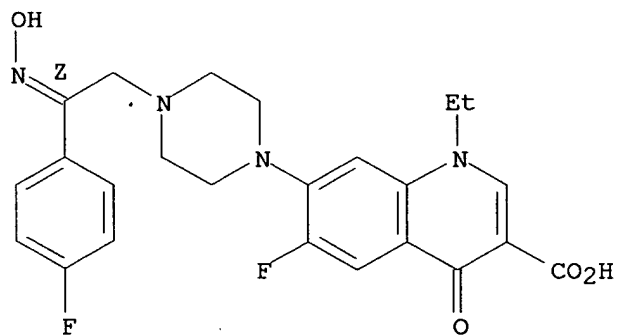
Double bond geometry as shown.



RN 353793-94-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[(2Z)-2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

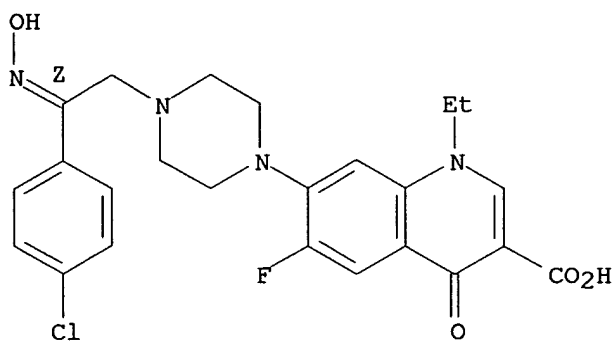


RN 353793-96-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-2-(4-chlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

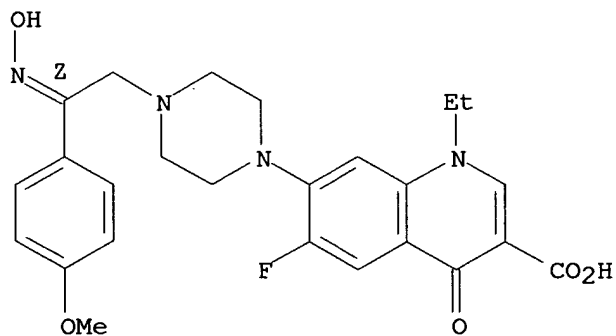
10/773035



RN 353793-98-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[(2Z)-2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

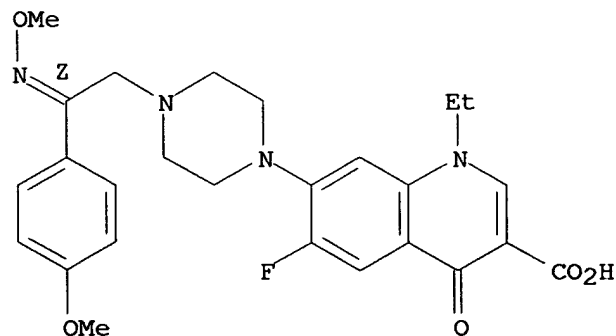
Double bond geometry as shown.



RN 353793-99-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[(2Z)-2-(methoxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 353793-97-2P 353794-00-0P 353794-01-1P

353794-02-2P 353794-04-4P 353794-05-5P

353794-06-6P 353794-15-7P 353794-16-8P

353794-17-9P 353794-18-0P

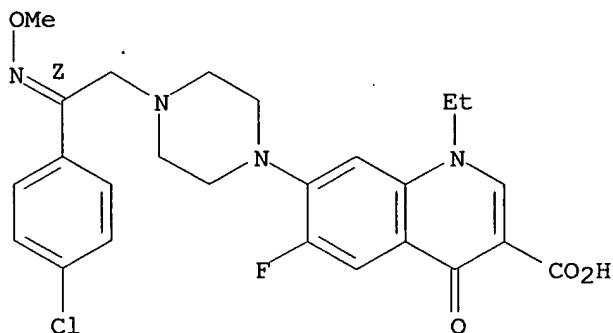
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn., cytotoxicity, antibacterial, and antitumor activity of piperazinyl quinolones)

RN 353793-97-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-2-(4-chlorophenyl)-2-(methoxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

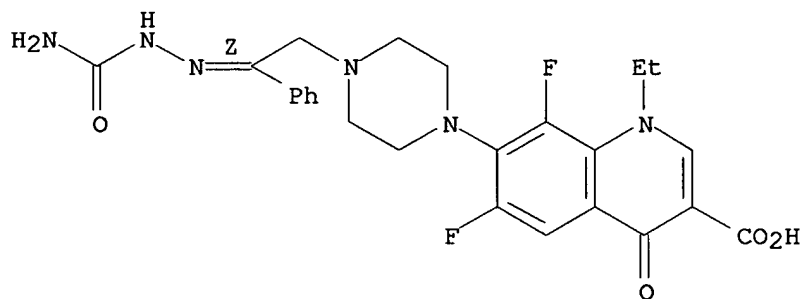
Double bond geometry as shown.



RN 353794-00-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-2-[(aminocarbonyl)hydrazono]-2-phenylethyl]-1-piperazinyl]-1-ethyl-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

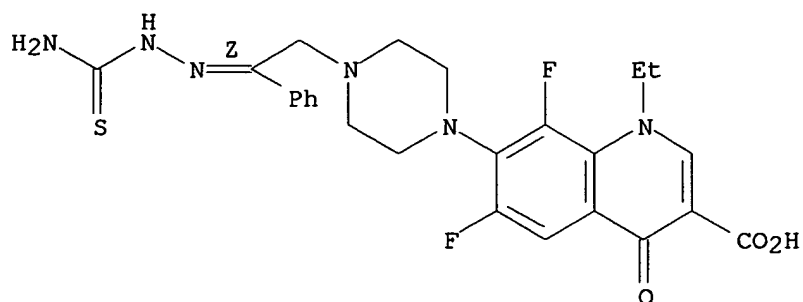
Double bond geometry as shown.



RN 353794-01-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-2-[(aminothioxomethyl)hydrazono]-2-phenylethyl]-1-piperazinyl]-1-ethyl-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

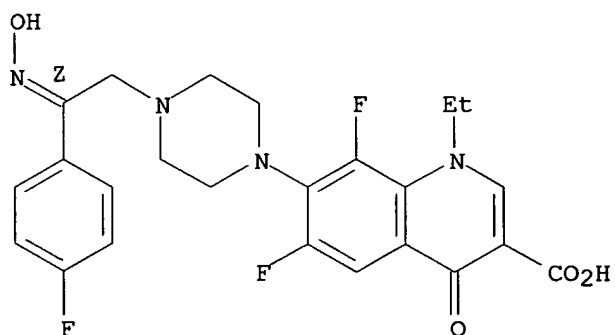
Double bond geometry as shown.



RN 353794-02-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6,8-difluoro-7-[4-[(2Z)-2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

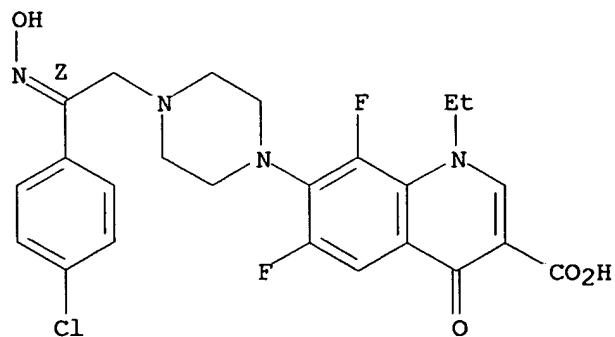
Double bond geometry as shown.



RN 353794-04-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-2-(4-chlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 353794-05-5 CAPLUS

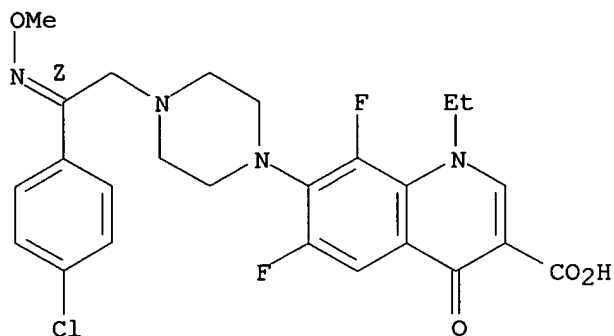
CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-2-(4-chlorophenyl)-2-



10/773035

(methoxyimino)ethyl]-1-piperazinyl]-1-ethyl-6,8-difluoro-1,4-dihydro-4-oxo-  
(9CI) (CA INDEX NAME)

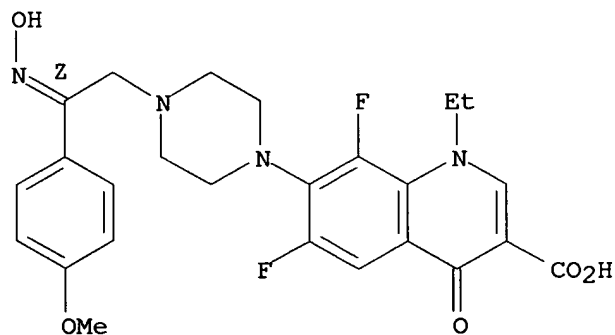
Double bond geometry as shown.



RN 353794-06-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6,8-difluoro-1,4-dihydro-7-[4-[(2Z)-2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

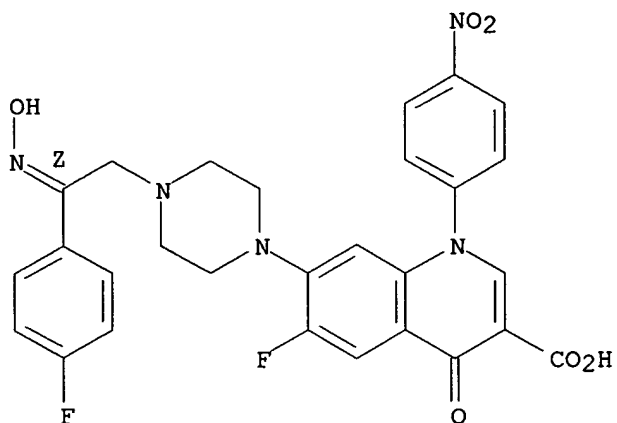
Double bond geometry as shown.



RN 353794-15-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 6-fluoro-7-[4-[(2Z)-2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-1-(4-nitrophenyl)-4-oxo- (9CI) (CA INDEX NAME)

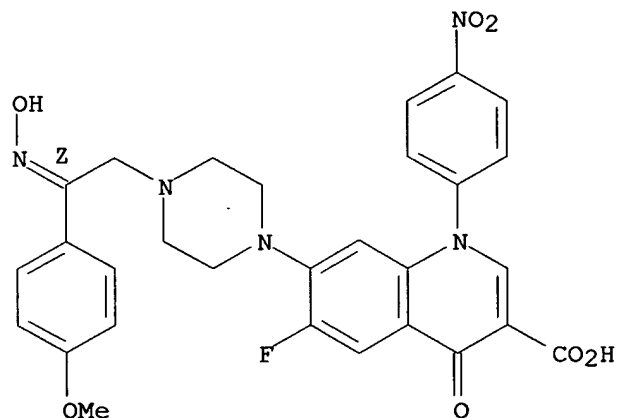
Double bond geometry as shown.



RN 353794-16-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 6-fluoro-1,4-dihydro-7-[4-[(2Z)-2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-1-(4-nitrophenyl)-4-oxo- (9CI) (CA INDEX NAME)

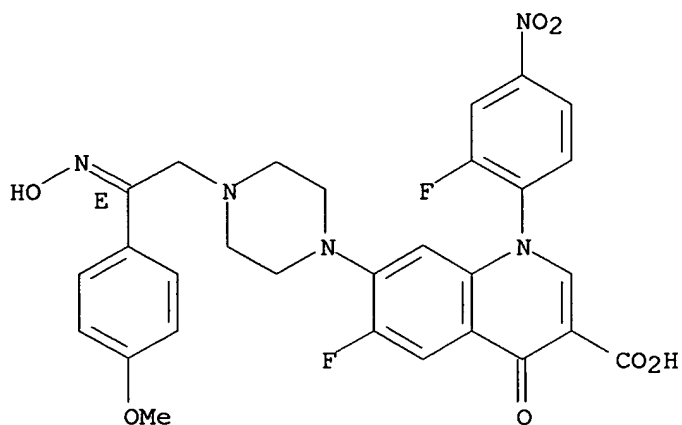
Double bond geometry as shown.



RN 353794-17-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 6-fluoro-1-(2-fluoro-4-nitrophenyl)-1,4-dihydro-7-[4-[(2E)-2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

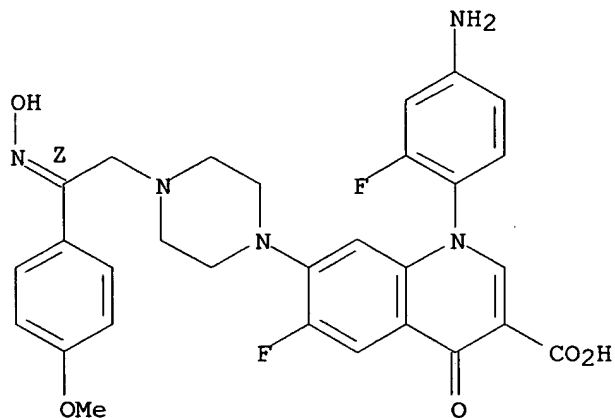
Double bond geometry as shown.



RN 353794-18-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-(4-amino-2-fluorophenyl)-6-fluoro-1,4-dihydro-7-[4-[(2Z)-2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



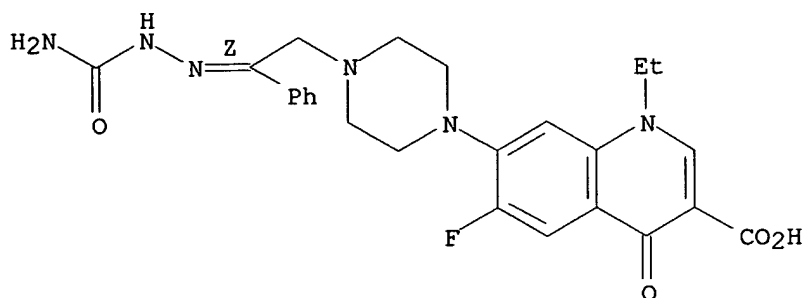
IT 353793-92-7P 353793-93-8P 353793-95-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn., cytotoxicity, antibacterial, and antitumor activity of  
piperazinyl quinolones)

RN 353793-92-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-2-[(aminocarbonyl)hydrazono]-2-phenylethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

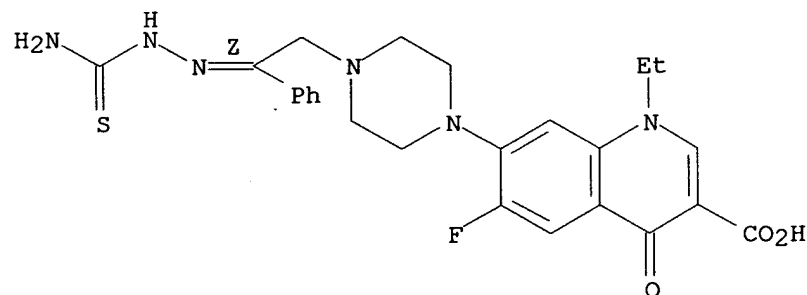
Double bond geometry as shown.



RN 353793-93-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-2-[(aminothioxomethyl)hydrazono]-2-phenylethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

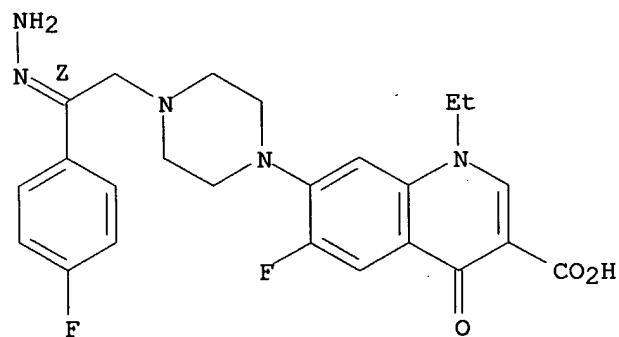
Double bond geometry as shown.



RN 353793-95-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[(2Z)-2-(4-fluorophenyl)-2-hydrazonoethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

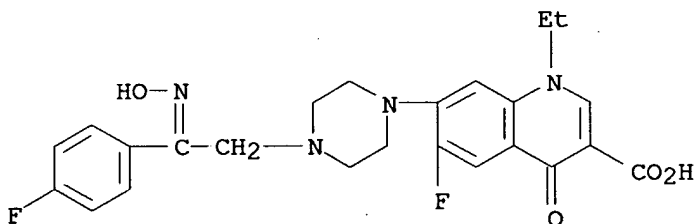
Double bond geometry as shown.



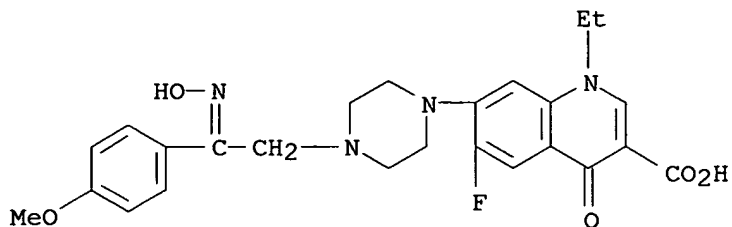
RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:646381 CAPLUS  
 DN 133:362748  
 TI Synthesis, Antibacterial, and Cytotoxic Evaluation of Certain  
 7-Substituted Norfloxacin Derivatives  
 AU Fang, Kuo-Chang; Chen, Yeh-Long; Sheu, Jia-Yuh; Wang, Tai-Chi; Tzeng,  
 Cherng-Chyi  
 CS School of Chemistry, Kaohsiung Medical University, Kaohsiung City, 807,  
 Taiwan  
 SO Journal of Medicinal Chemistry (2000), 43(20), 3809-3812  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PB American Chemical Society  
 DT Journal  
 LA English  
 OS CASREACT 133:362748  
 AB The synthesis and biol. evaluation of two series of 7-substituted  
 norfloxacin derivs. were reported. Most compds. tested in this study  
 demonstrated better activity against methicillin-resistant *Staphylococcus*  
*aureus* than norfloxacin. Preliminary in vitro evaluation indicated that  
 7-[4-(2-hydroxyiminoethyl)-1-piperazinyl] derivs. possess distinct  
 cytotoxicity profiles as compared with their .alpha.-methylene-.gamma.-  
 butyrolactone counterparts, i.e., excellent activities against the renal  
 cancer subpanel. Among them, 1-ethyl-6-fluoro-7-[4-[2-(4-chlorophenyl)-2-  
 hydroxyiminoethyl]-1-piperazinyl]-4-oxo-1,4-dihydro-3-quinolinecarboxylic  
 acid demonstrated the most significant activities against renal cancer  
 cell lines, with log GI50 values of -6.40 against CAK-1, -6.14 against RXF  
 393, and -7.54 against UO-31, compared with a mean log GI50 value of  
 -5.03.  
 IT 202925-32-4P 202925-34-6P 307543-34-6P  
 307543-36-8P 307543-39-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
 study); PREP (Preparation)  
 (prepn. and antibacterial and cytotoxic activity of 7-substituted  
 norfloxacin derivs.)  
 RN 202925-32-4 CAPLUS  
 CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-  
 (hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX  
 NAME)



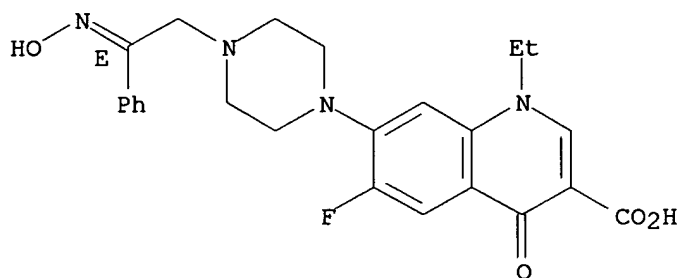
RN 202925-34-6 CAPLUS  
 CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-  
 (hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA  
 INDEX NAME)



RN 307543-34-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[(2E)-2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

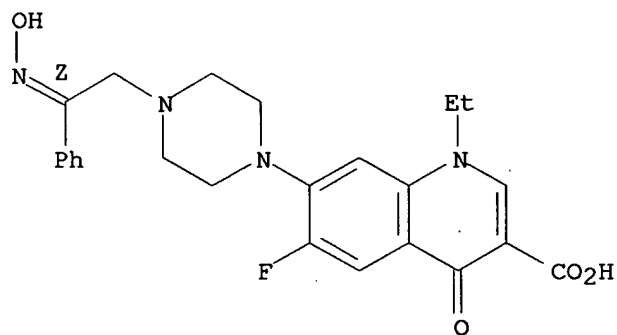
Double bond geometry as shown.



RN 307543-36-8 CAPLUS

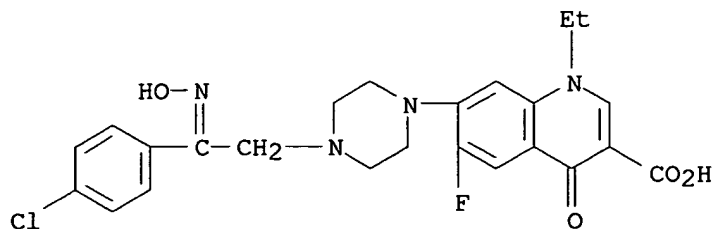
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[(2Z)-2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 307543-39-1 CAPLUS

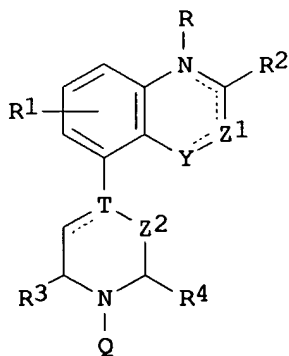
CN 3-Quinolinecarboxylic acid, 7-[4-[2-(4-chlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 1999:176950 CAPLUS  
DN 130:223299  
TI Preparation of 5-piperazinotetrahydroquinolines and analogs as 5-HT1  
receptor agonists  
IN Feenstra, R. W.; Visser, G. M.; Kruse, C. G.; Tulp, M. T. M.; Long, S. K.  
PA Duphar International Research B.V, Neth.  
SO Eur. Pat. Appl., 26 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 900792	A1	19990310	EP 1998-202832	19980824
	EP 900792	B1	20031029		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AT 253058	E	20031115	AT 1998-202832	19980824
	CA 2246126	AA	19990302	CA 1998-2246126	19980828
	JP 11147871	A2	19990602	JP 1998-259105	19980831
	US 6214829	B1	20010410	US 1998-144076	19980831
PRAI	EP 1997-202704	A	19970902		
OS	MARPAT 130:223299				
GI					



I

AB Title compds. [I; Q = CH2CR5R6ZR7; R,R3,R4 = H or alkyl; R1 = H or F; R2 = H, alkyl, oxo (sic); RR2 = bond; R5,R6 = H, alkyl, alkylphenyl; R7 =

cyclic group (sic), (hetero)aryl, adamantyl, etc.; T = N or C (sic); Y = C, O, N, or S (sic); Z = CH<sub>2</sub>O, CH<sub>2</sub>CO, NHCO, etc.; Z1 = (CR'')<sub>p</sub>; R'' = H or alkyl; Z2 = (CH<sub>2</sub>)<sub>n</sub>; n = 1 or 2; p = 0-2; dashed lines = optional bond(s)] were prepd. Thus, 5-(1-piperazinyl)-1,2,3,4-tetrahydroquinoline was alkylated by Cl(CH<sub>2</sub>)<sub>3</sub>COC<sub>6</sub>H<sub>4</sub>F-4 to give I [Q = (CH<sub>2</sub>)<sub>3</sub>COC<sub>6</sub>H<sub>4</sub>F-4; R-R<sub>4</sub> = H, T = N, Y = Z1 = Z2 = CH<sub>2</sub>, dashed lines = null]. Data for biol. activity of I were given.

IT 221193-69-7P 221193-72-2P 221193-74-4P

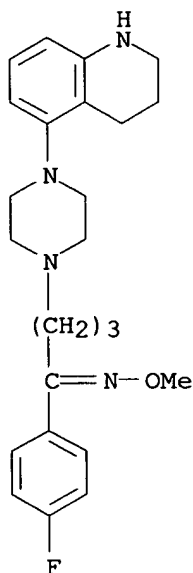
221194-70-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 5-piperazinotetrahydroquinolines and analogs as 5-HT1 receptor agonists)

RN 221193-69-7 CAPLUS

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(1,2,3,4-tetrahydro-5-quinolinyl)-1-piperazinyl]-, O-methyloxime, dihydrochloride (9CI) (CA INDEX NAME)

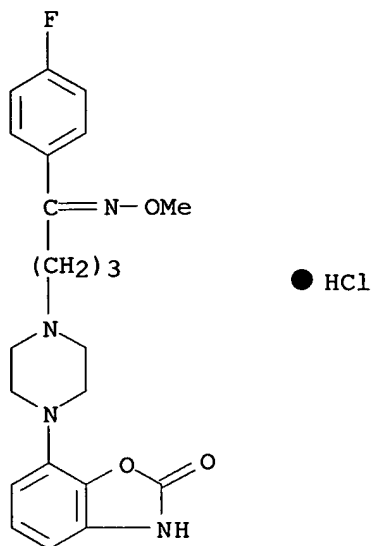


● 2 HCl

RN 221193-72-2 CAPLUS

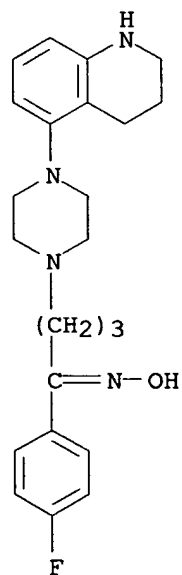
CN 2(3H)-Benzoxazolone, 7-[4-[4-(4-fluorophenyl)-4-(methoxyimino)butyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)





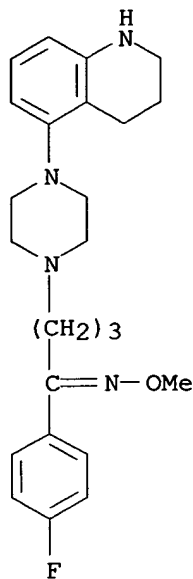
RN 221193-74-4 CAPLUS

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(1,2,3,4-tetrahydro-5-quinolinyl)-1-piperazinyl]-, oxime (9CI) (CA INDEX NAME)



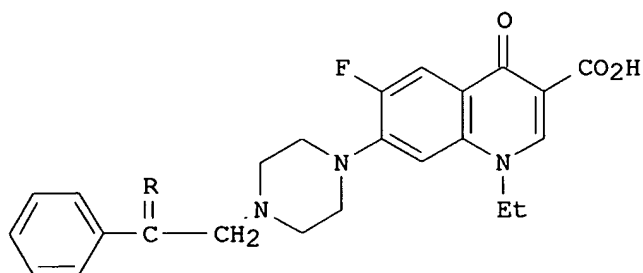
RN 221194-70-3 CAPLUS

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(1,2,3,4-tetrahydro-5-quinolinyl)-1-piperazinyl]-, O-methyloxime (9CI) (CA INDEX NAME)



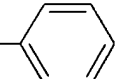
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 1998:34171 CAPLUS  
DN 128:164860  
TI Synthesis and in vitro antibacterial activities of N-substituted  
piperazinyl quinolones  
AU Foroumadi, A.; Emami, S.; Davood, A.; Moshafi, M. H.; Sharifian, A.;  
Tabatabaie, M.; Farimani, H. Tarhimi; Sepehri, G.; Shafiee, A.  
CS The Research Center of The Medical Sciences University of Kerman, Kerman,  
Iran  
SO Pharmaceutical Sciences (1997), 3(12), 559-563  
CODEN: PHSCFB; ISSN: 1356-6881  
PB Royal Pharmaceutical Society of Great Britain  
DT Journal  
LA English  
GI



I R=O

II R=NOH

III R=NOCH<sub>2</sub>-

AB A series of N-substituted piperazinyl quinolones (e.g. I, II, and III) were prepd. and evaluated for in-vitro antibacterial activity. Compds. having phenacyl group attached to the piperazine ring were as potent as norfloxacin, ciprofloxacin and enoxacin. The oximes were almost as potent as the corresponding ketones against staphylococci but less active against Gram-neg. bacteria. Some oximes were found to be more active than norfloxacin and enoxacin against Gram-pos. organisms. In general, the O-benzyloxime derivs. had lower antibacterial activity than ref. compds. However, compds. having a 4-nitro group in the benzyl moiety of O-benzyloxime derivs. had antistaphylococcal activity greater than norfloxacin, ciprofloxacin and enoxacin. Generally, ciprofloxacin derivs. were more active than norfloxacin or enoxacin derivs.

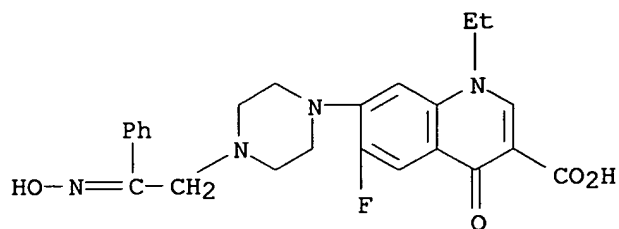
IT 202925-30-2P 202925-31-3P 202925-32-4P  
 202925-33-5P 202925-34-6P 202925-35-7P  
 202925-36-8P 202925-37-9P 202925-38-0P  
 202925-39-1P 202925-40-4P 202925-41-5P  
 202925-42-6P 202925-43-7P 202925-44-8P  
 202925-45-9P 202925-46-0P 202925-48-2P  
 202925-50-6P 202925-51-7P 202925-52-8P  
 202925-53-9P 202925-54-0P 202925-58-4P  
 202925-59-5P 202925-62-0P 202925-64-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and in vitro antibacterial activities of N-substituted piperazinyl quinolones)

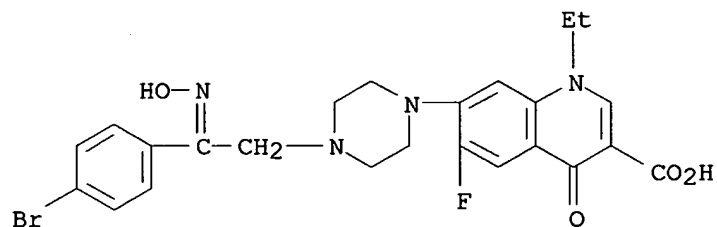
RN 202925-30-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



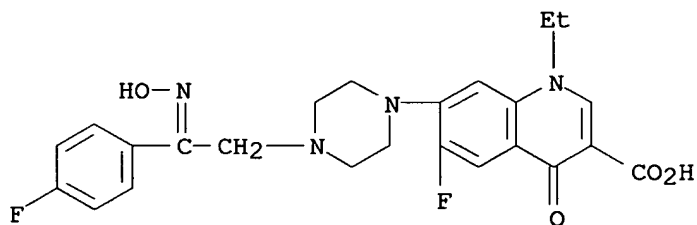
RN 202925-31-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-(4-bromophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



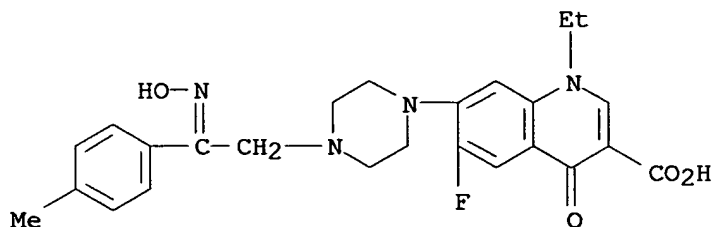
RN 202925-32-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 202925-33-5 CAPLUS

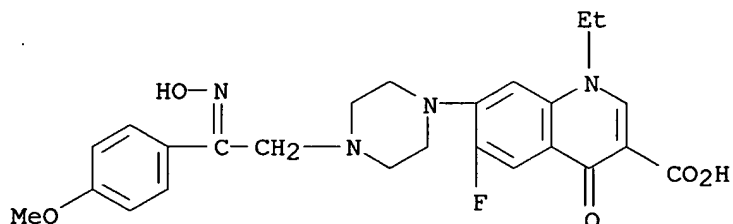
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(4-methylphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



10/773035

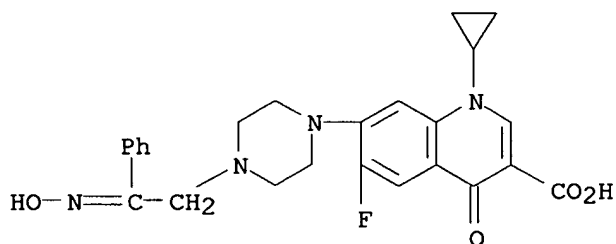
RN 202925-34-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



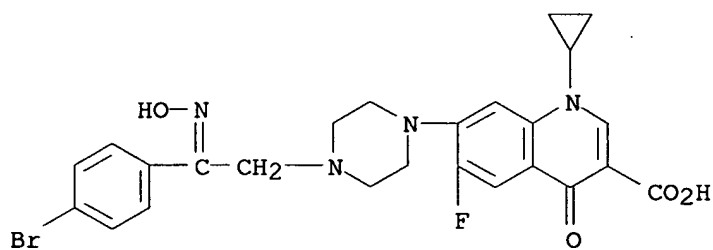
RN 202925-35-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



RN 202925-36-8 CAPLUS

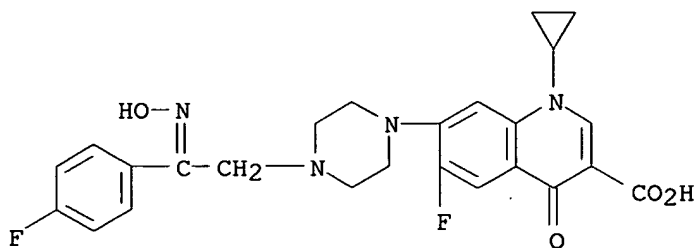
CN 3-Quinolinecarboxylic acid, 7-[4-[2-(4-bromophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 202925-37-9 CAPLUS

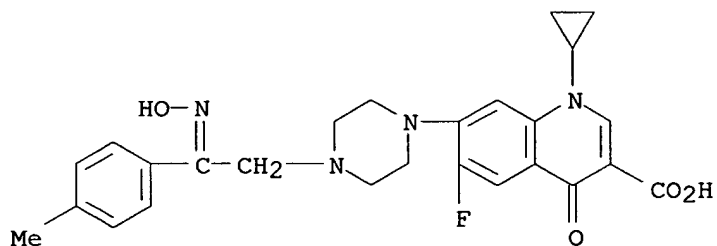
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

10/773035



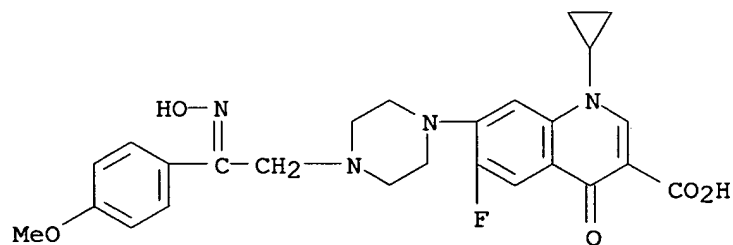
RN 202925-38-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(4-methylphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



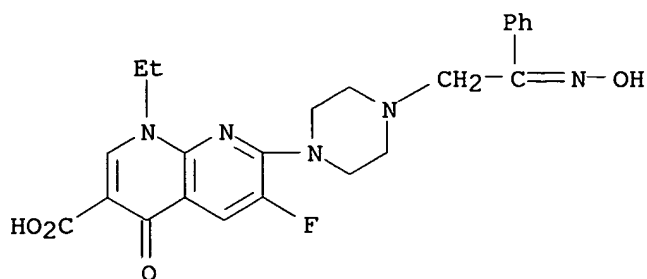
RN 202925-39-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



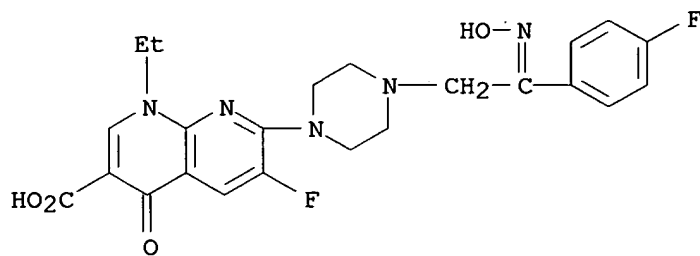
RN 202925-40-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



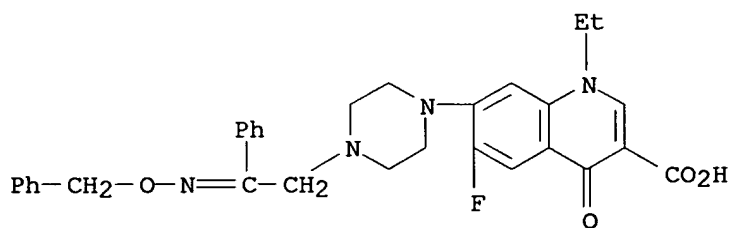
RN 202925-41-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



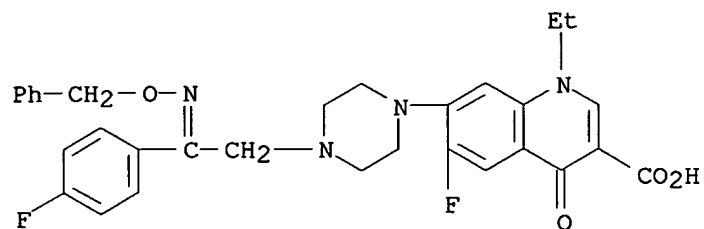
RN 202925-42-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-[4-[2-phenyl-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



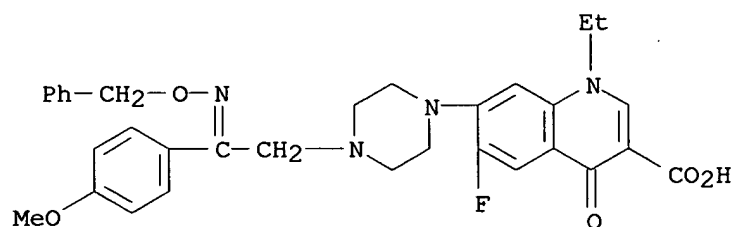
RN 202925-43-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



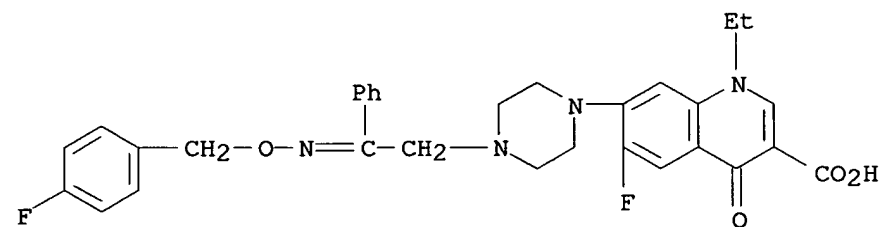
RN 202925-44-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(4-methoxyphenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-4-oxo- (9CI)  
(CA INDEX NAME)



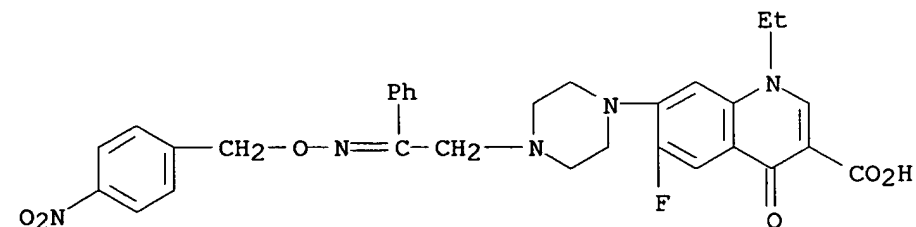
RN 202925-45-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-[[4-(fluorophenyl)methoxy]imino]-2-phenylethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 202925-46-0 CAPLUS

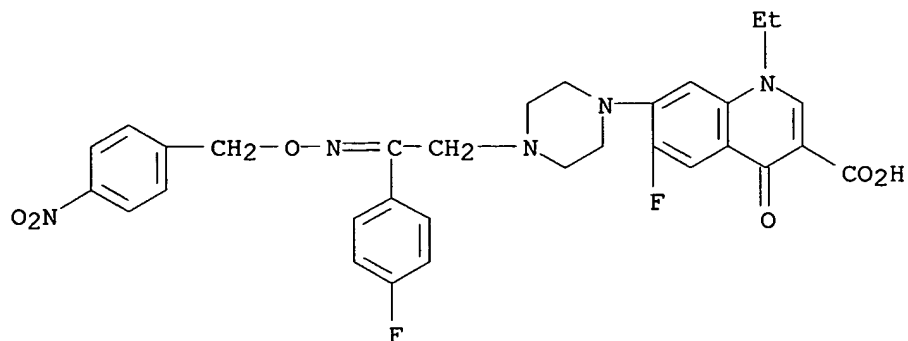
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-[[4-(nitrophenyl)methoxy]imino]-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)





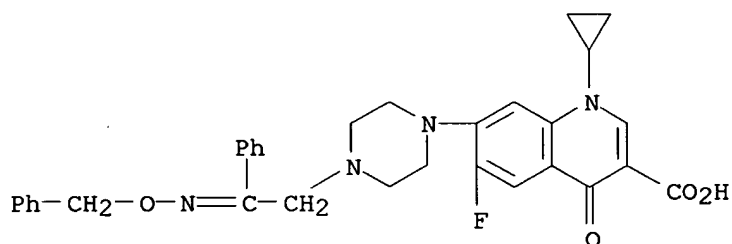
RN 202925-48-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[[4-(4-nitrophenyl)methoxy]imino]ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



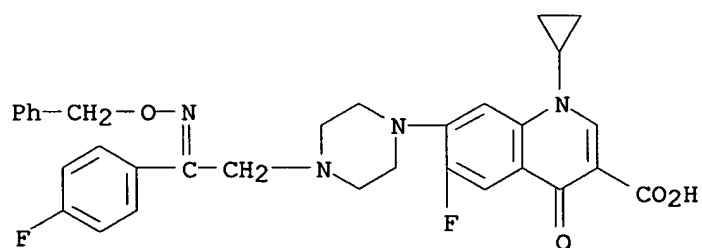
RN 202925-50-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-7-[4-[2-phenyl-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 202925-51-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

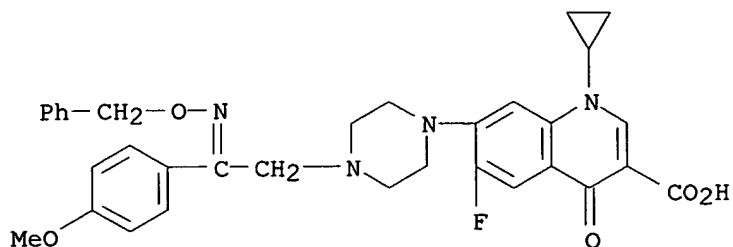


RN 202925-52-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-(4-methoxyphenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-4-oxo- (9CI)

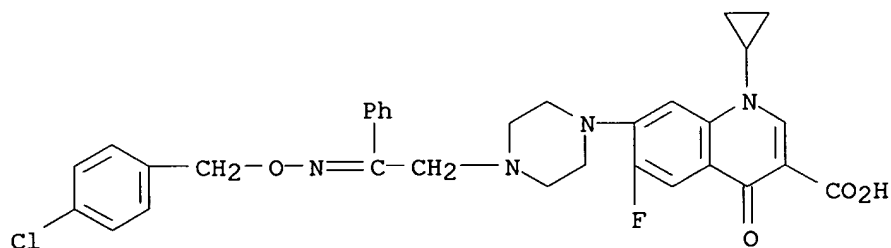
10/773035

(CA INDEX NAME)



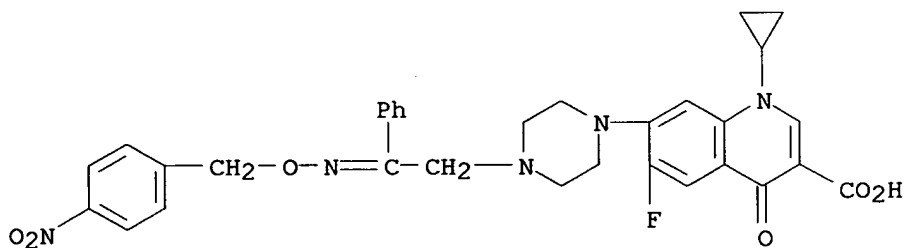
RN 202925-53-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-[[4-(4-chlorophenyl)methoxy]imino]-2-phenylethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



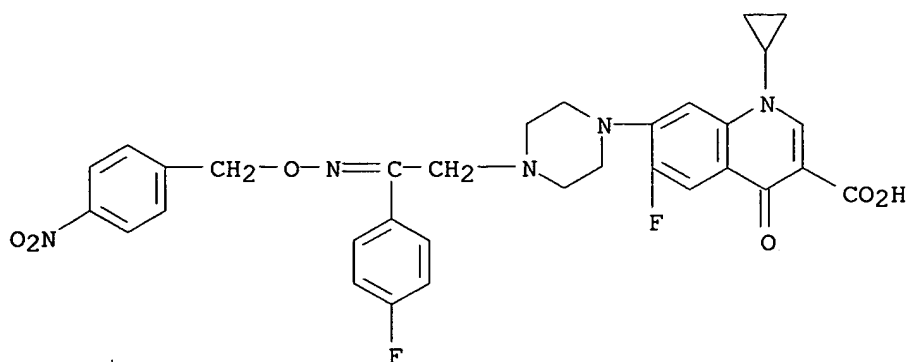
RN 202925-54-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-[[4-(4-nitrophenyl)methoxy]imino]-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



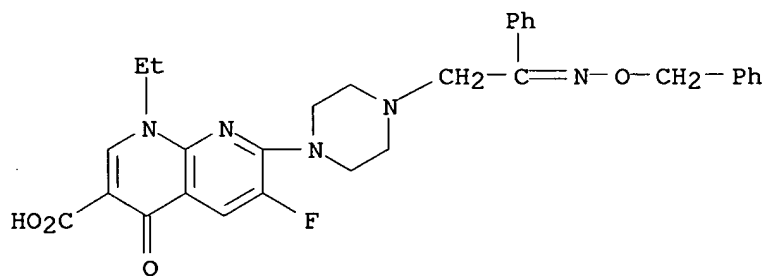
RN 202925-58-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[[4-(4-nitrophenyl)methoxy]imino]ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



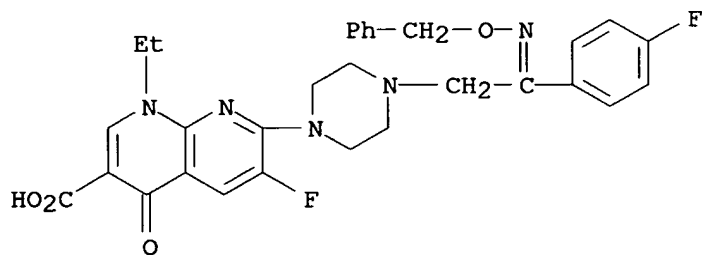
RN 202925-59-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-[4-[2-phenyl-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



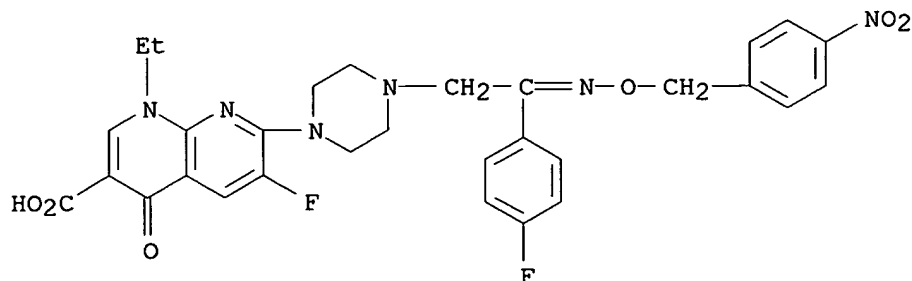
RN 202925-62-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



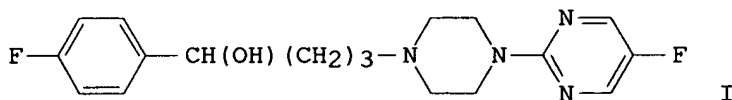
RN 202925-64-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[(4-nitrophenyl)methoxy]imino]ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 1993:6946 CAPLUS  
DN 118:6946  
TI Synthesis and biological characterization of .alpha.-(4-fluorophenyl)-4-(5-fluoro-2-pyrimidinyl)-1-piperazinebutanol and analogs as potential atypical antipsychotic agents  
AU Yevich, Joseph P.; New, James S.; Lobeck, Walter G.; Dextraze, Pierre; Bernstein, Edith; Taylor, Duncan P.; Yocca, Frank D.; Eison, Michael S.; Temple, Davis L., Jr.  
CS Pharm. Res. Inst., Bristol-Myers Squibb Co., Wallingford, CT, 06492, USA  
SO Journal of Medicinal Chemistry (1992), 35(24), 4516-25  
CODEN: JMCMAR; ISSN: 0022-2623  
DT Journal  
LA English  
GI



AB A series of 1-(pyrimidin-2-yl)piperazine derivs. was prepd. and evaluated in receptor binding assays and in in vivo behavioral paradigms as potential atypical antipsychotic agents. The title compd.(I) [DMS 181100 (formerly MBY 14802)] emerged as the lead compd. from within the series on the basis of its good activity and duration of action in the inhibition of both conditioned avoidance responding and apomorphine-induced stereotopy in the rat. Compd. I not only failed to induce catalepsy in the rat but was quite effective in reversing the cataleptic effect of neuroleptic agents, thus indicating a low propensity for causing extrapyramidal side effects. In comparison to ref. antipsychotic agents, I appeared to be less sedating and was relatively weaker in causing loss of muscle coordination. The compd. was essentially inactive in binding to dopamine D2 receptors and its chronic administration to rats did not result in dopamine receptor supersensitivity. It exhibited modest to weak affinity for 5-HT1a and alpha1 receptors but was found to be a fairly potent ligand for .sigma. binding sites. Although the resolved enantiomers of racemic I did not show dramatic differences from racemate or from each other in most tests, the R-(+) enantiomer was up to 11-fold more potent than its

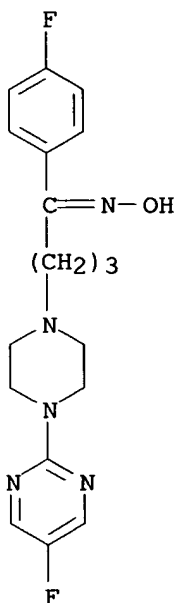
antipode in binding to .sigma. sites. Several studies have indicated that I may be a limbic-selective agent which may modulate dopaminergic activity by an indirect mechanism. The compd. has been selected for clin. evaluation in the treatment of psychosis.

IT 144317-95-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (prepn., hydrogenation, and antipsychotic activity of)

RN 144317-95-3 CAPLUS

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-, oxime (9CI) (CA INDEX NAME)



L9 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1982:423646 CAPLUS

DN 97:23646

TI Isoquinoline derivatives, pharmaceutical compositions containing them and their use

IN Knoz, Elmar; Hock, Franz; Kaiser, Joachim; Kruse, Hansjoerg

PA Hoechst A.-G. , Fed. Rep. Ger.

SO Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

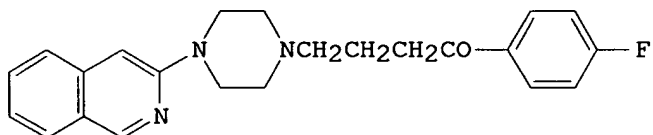
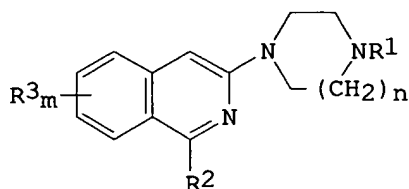
DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 47923	A1	19820324	EP 1981-106884	19810903
	EP 47923	B1	19840509		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	DE 3034001	A1	19820422	DE 1980-3034001	19800910
	AT 7389	E	19840515	AT 1981-106884	19810903
	ES 505191	A1	19820816	ES 1981-505191	19810904

	JP 57080372	A2	19820519	JP 1981-139850	19810907
	FI 8102783	A	19820311	FI 1981-2783	19810908
	FI 71734	B	19861031		
	FI 71734	C	19870209		
	IL 63765	A1	19850531	IL 1981-63765	19810908
	DK 8104006	A	19820311	DK 1981-4006	19810909
	NO 8103066	A	19820311	NO 1981-3066	19810909
	AU 8175091	A1	19820318	AU 1981-75091	19810909
	AU 541976	B2	19850131		
	ZA 8106237	A	19820825	ZA 1981-6237	19810909
	HU 31176	O	19840428	HU 1981-2595	19810909
	HU 187357	B	19851228		
	CA 1168232	A1	19840529	CA 1981-385547	19810909
	ES 506584	A1	19830301	ES 1981-506584	19811027
	ES 506583	A1	19830401	ES 1981-506583	19811027
	US 4590273	A	19860520	US 1984-594366	19840328
PRAI	DE 1980-3034001	A	19800910		
	EP 1981-106884	A	19810903		
	US 1981-300434	A2	19810908		
OS	CASREACT 97:23646; MARPAT 97:23646				
GI					



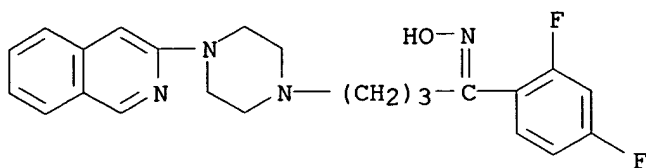
AB I [R1 = H, C1-6 alkyl, C1-4 alkoxy, C3-6 cycloalkyl, thienyl, furyl, pyridyl, aryl, (CH2)pCOR (R = aryl, furyl, thienyl, pyridyl; p = 0-4), etc.; R2 = H, C1-6 alkyl; R3 = H, halo, C1-6 alkyl, alkoxy, etc.; m, n = 1, 2] were prepd. as antiarrhythmics, antihypertensives, and neuroleptics (no data). Thus, 3-chloroisoquinoline and pyrazine gave 3-pyrazinoisoquinoline, which with .omega.-chloro-4-florobutyrophenone ethylene ketal (followed by deprotection) gave II.

IT **82117-77-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and hydride redn. of)

RN 82117-77-9 CAPLUS

CN 1-Butanone, 1-(2,4-difluorophenyl)-4-[4-(3-isoquinolinyl)-1-piperazinyl]-, oxime (9CI) (CA INDEX NAME)



L9 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1974:536181 CAPLUS

DN 81:136181

TI Pharmaceutical 1-(4-fluorophenyl)-4-(1-piperazinyl)-1-butanone oximes

IN Buzas, Andre; Bruneau, Jacques

PA Laboratoires Bruneau et Cie.

SO Ger. Offen., 16 pp. Addn. to Ger. Offen. 2,257,639 (CA 79;92278d).

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2319016	A1	19740808	DE 1973-2319016	19730414
	FR 2215953	A2	19740830	FR 1973-3925	19730205
	GB 1384523	A	19750219	GB 1973-19306	19730424
PRAI	FR 1973-3925	A	19730205		

GI For diagram(s), see printed CA Issue.

AB Eight piperazines I [R = CH<sub>2</sub>CH:CH<sub>2</sub>, COC<sub>6</sub>H<sub>2</sub>(OMe)<sub>3</sub>-3,4,5,-2-chloro-3-[R = CH<sub>2</sub>CH:CH<sub>2</sub>, COC<sub>6</sub>H<sub>2</sub>(OMe)<sub>3</sub>-3,4,5,-2-chloro-3-pyridylcarbonyl, (CH<sub>2</sub>)<sub>2</sub>NEt<sub>2</sub>, or 2-morpholinoethyl; R1 = 2-pyridyl or 2-pyrimidyl] were prepd. by reaction of I (R = H) with RCl. I had analgesic, antiinflammatory, and spasmolytic activity and potentiated the barbiturate anesthesia in mice. The LD<sub>50</sub> was tested in mice on oral administration.

IT **54042-48-7P 54042-56-7P 54042-58-9P**

**54042-60-3P 54042-61-4P 54064-24-3P**

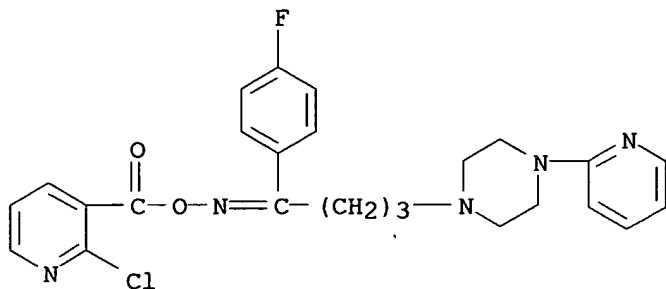
**54064-25-4P 54064-26-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and pharmacol. activity of)

RN 54042-48-7 CAPLUS

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyridinyl)-1-piperazinyl]-, O-[(2-chloro-3-pyridinyl)carbonyl]oxime, monohydrochloride (9CI) (CA INDEX NAME)

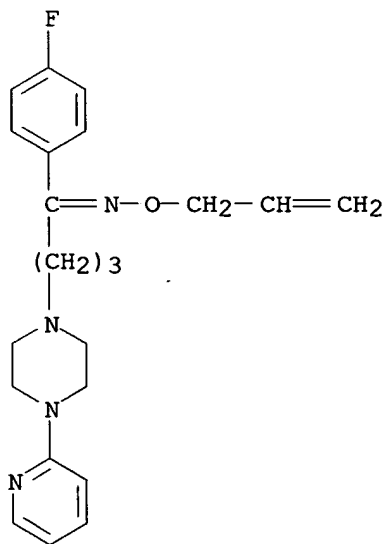


● HCl

RN 54042-56-7 CAPLUS  
 CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyridinyl)-1-piperazinyl]-,  
 O-2-propenyloxime, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 54042-55-6  
 CMF C22 H27 F N4 O



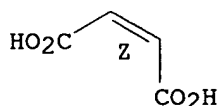
CM 2

CRN 110-16-7  
 CMF C4 H4 O4

Double bond geometry as shown.



10/773035

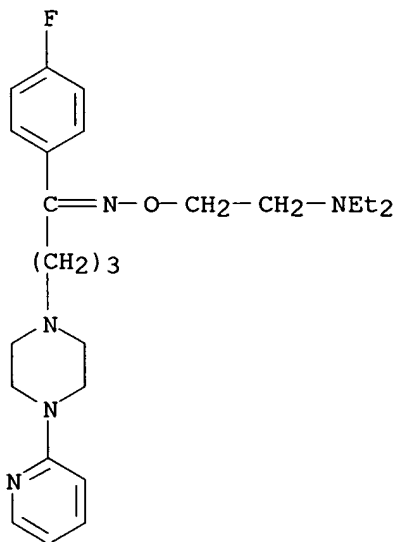


RN 54042-58-9 CAPLUS  
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyridinyl)-1-piperazinyl]-,  
O-[2-(diethylamino)ethyl]oxime, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX  
NAME)

CM 1

CRN 54042-57-8

CMF C25 H36 F N5 O

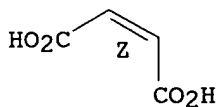


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



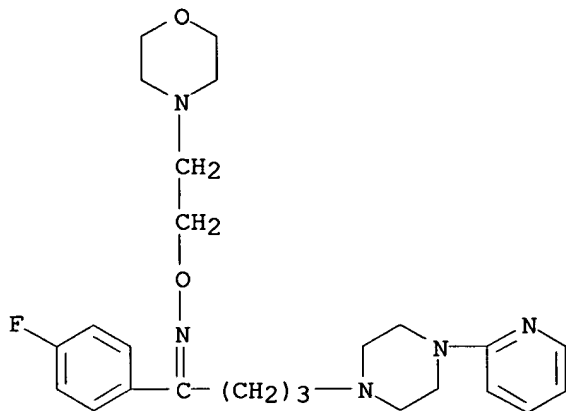
RN 54042-60-3 CAPLUS  
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyridinyl)-1-piperazinyl]-,  
O-[2-(4-morpholinyl)ethyl]oxime, (2Z)-2-butenedioate (1:3) (9CI) (CA  
INDEX NAME)

10/773035

CM 1

CRN 54042-59-0

CMF C25 H34 F N5 O2

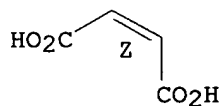


CM 2

CRN 110-16-7

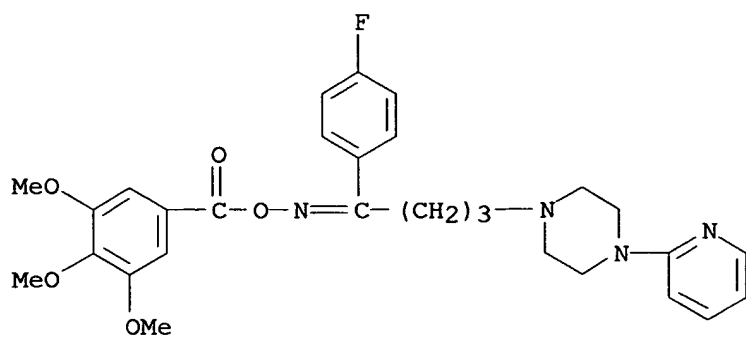
CMF C4 H4 O4

Double bond geometry as shown.



RN 54042-61-4 CAPLUS

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyridinyl)-1-piperazinyl]-,  
O-(3,4,5-trimethoxybenzoyl)oxime, monohydrochloride (9CI) (CA INDEX NAME)



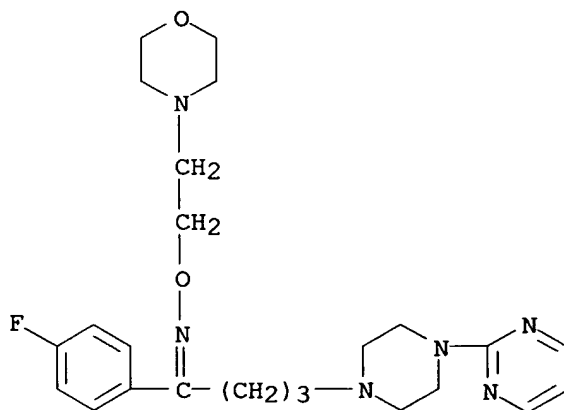
● HCl

RN 54064-24-3 CAPLUS  
 CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyrimidinyl)-1-piperazinyl]-,  
 O-[2-(4-morpholinyl)ethyl]oxime, (2Z)-2-butenedioate (1:2) (9CI) (CA  
 INDEX NAME)

CM 1

CRN 54064-23-2

CMF C24 H33 F N6 O2



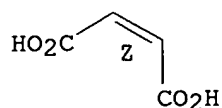
CM 2

CRN 110-16-7

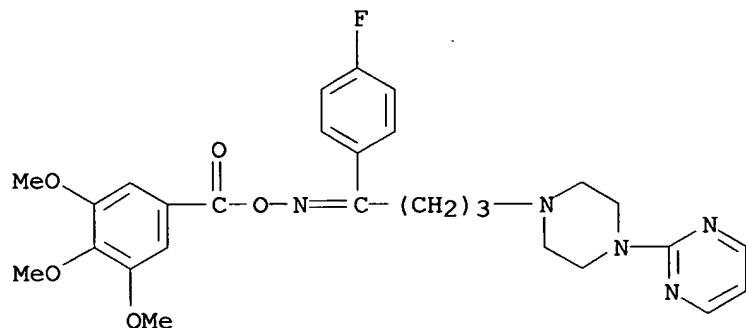
CMF C4 H4 O4

Double bond geometry as shown.

10/773035

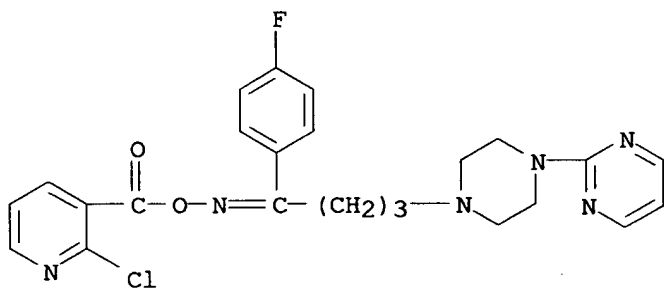


RN 54064-25-4 CAPLUS  
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyrimidinyl)-1-piperazinyl]-,  
O-(3,4,5-trimethoxybenzoyl)oxime, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 54064-26-5 CAPLUS  
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyrimidinyl)-1-piperazinyl]-,  
O-[(2-chloro-3-pyridinyl)carbonyl]oxime, monohydrochloride (9CI) (CA  
INDEX NAME)



● HCl

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FULL ESTIMATED COST

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174.61	532.09

10/773035

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